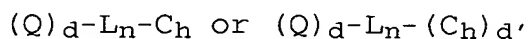
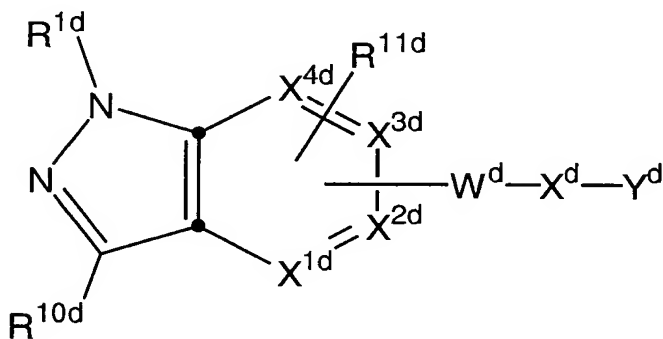


WHAT IS CLAIMED IS DESCRIBED BELOW:

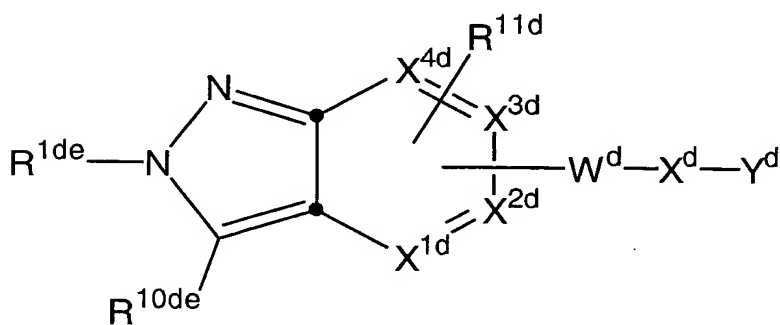
1. A compound, comprising: a targeting moiety and a chelator, wherein the targeting moiety is bound to the chelator, is an indazole nonpeptide, and binds to a receptor that is upregulated during angiogenesis and the compound has 0-1 linking groups between the targeting moiety and chelator.
2. A compound according to Claim 1, wherein the receptor is the integrin $\alpha_v\beta_3$ or $\alpha_v\beta_5$ and the compound is of the formula:



- wherein, Q is independently a compound of Formula (Ia) or (Ib):



(Ia)

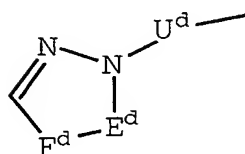
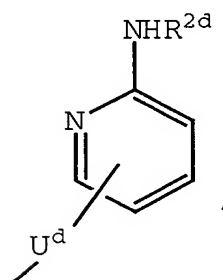
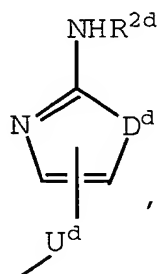
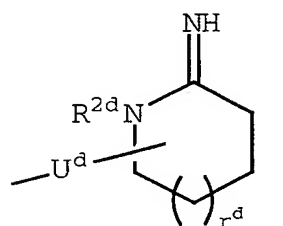
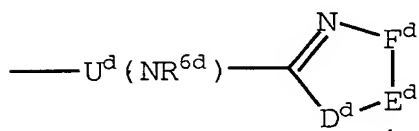
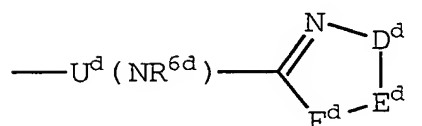
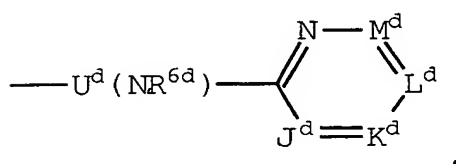
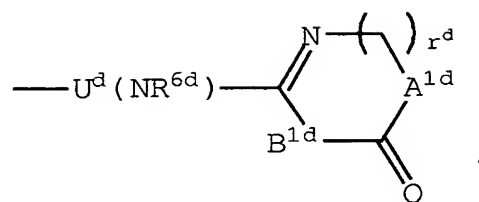
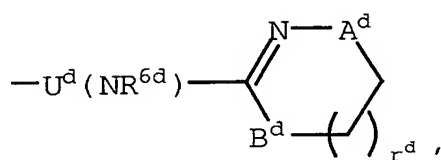


(Ib)

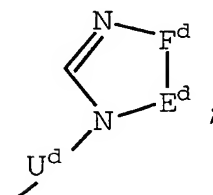
including stereoisomeric forms thereof, or mixtures of stereoisomeric forms thereof, or pharmaceutically acceptable salt or prodrug forms thereof wherein:

- 5 X^{1d} is N, CH, C- W^d - X^d - Y^d , or C- L_n ;
 X^{2d} is N, CH, or C- W^d - X^d - Y^d ;
 X^{3d} is N, CR^{11d}, or C- W^d - X^d - Y^d ;
 X^{4d} is N or CR^{11d};
- 10 provided that when R^{1d} is R^{1de} then one of X^{1d} and X^{2d} is C- W^d - X^d - Y^d , and when R^{10d} is R^{1de} then X^{3d} is C- W^d - X^d - Y^d ;
- R^{1d} is selected from: R^{1de} , C₁-C₆ alkyl substituted with
15 0-1 R^{15d} or 0-1 R^{21d} , C₃-C₆ alkenyl substituted with
0-1 R^{15d} or 0-1 R^{21d} , C₃-C₇ cycloalkyl substituted
with 0-1 R^{15d} or 0-1 R^{21d} , C₄-C₁₁ cycloalkylalkyl
substituted with 0-1 R^{15d} or 0-1 R^{21d} , aryl
substituted with 0-1 R^{15d} or 0-2 R^{11d} or 0-1 R^{21d} , and
20 aryl(C₁-C₆ alkyl)- substituted with 0-1 R^{15d} or 0-2
 R^{11d} or 0-1 R^{21d} ;

R¹_{de} is selected from:



or



5

A^d and B^d are independently -CH₂-, -O-, -N(R^{2d})-, or -C(=O)-;

A^{1d} and B^{1d} are independently $-CH_2-$ or $-N(R^{3d})-$;

D^d is $-N(R^{2d})-$, $-O-$, $-S-$, $-C(=O)-$ or $-SO_2-$;

5 E^d-F^d is $-C(R^{4d})=C(R^{5d})-$, $-N=C(R^{4d})-$, $-C(R^{4d})=N-$, or
 $-C(R^{4d})_2C(R^{5d})_2-$;

J^d , K^d , L^d and M^d are independently selected from
 $-C(R^{4d})-$, $-C(R^{5d})-$ and $-N-$, provided that at least
 10 one of J^d , K^d , L^d and M^d is not $-N-$;

R^{2d} is selected from: H, C_1-C_6 alkyl, $(C_1-C_6$
 alkyl)carbonyl, $(C_1-C_6$ alkoxy)carbonyl; $(C_1-C_6$
 alkyl)aminocarbonyl, C_3-C_6 alkenyl, C_3-C_7 cycloalkyl,
 15 C_4-C_{11} cycloalkylalkyl, aryl, heteroaryl(C_1-C_6
 alkyl)carbonyl, heteroarylcarbonyl,
 aryl(C_1-C_6 alkyl)-, $(C_1-C_6$ alkyl)carbonyl-,
 arylcarbonyl, C_1-C_6 alkylsulfonyl, arylsulfonyl,
 aryl(C_1-C_6 alkyl)sulfonyl, heteroarylsulfonyl,
 20 heteroaryl(C_1-C_6 alkyl)sulfonyl, aryloxycarbonyl, and
 aryl(C_1-C_6 alkoxy)carbonyl, wherein said aryl groups
 are substituted with 0-2 substituents selected from
 the group: C_1-C_4 alkyl, C_1-C_4 alkoxy, halo, CF_3 , and
 nitro;

25 R^{3d} is selected from: H, C_1-C_6 alkyl, C_3-C_7 cycloalkyl,
 C_4-C_{11} cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, and
 heteroaryl(C_1-C_6 alkyl)-;

30 R^{4d} and R^{5d} are independently selected from: H, C_1-C_4
 alkoxy, $NR^{2d}R^{3d}$, halogen, NO_2 , CN, CF_3 , C_1-C_6 alkyl,
 C_3-C_6 alkenyl, C_3-C_7 cycloalkyl, C_4-C_{11}
 cycloalkylalkyl, aryl, aryl(C_1-C_6 alkyl)-, $(C_1-C_6$
 alkyl)carbonyl, $(C_1-C_6$ alkoxy)carbonyl, and
 35 arylcarbonyl, or

alternatively, when substituents on adjacent atoms, R^{4d} and R^{5d} can be taken together with the carbon atoms to which they are attached to form a 5-7 membered carbocyclic or 5-7 membered heterocyclic aromatic or non-aromatic ring system, said carbocyclic or heterocyclic ring being optionally substituted with 0-2 groups selected from: C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halo, cyano, amino, CF_3 , and NO_2 ;

10 U^d is selected from:

- $(CH_2)_n^d$ -,
- $(CH_2)_n^d(CR^{7d}=CR^{8d})(CH_2)_m^d$ -,
- $(CH_2)_n^d(C\equiv C)(CH_2)_m^d$ -,
- $(CH_2)_t^dQ(CH_2)_m^d$ -,
- 15 - $(CH_2)_n^dO(CH_2)_m^d$ -,
- $(CH_2)_n^dN(R^{6d})(CH_2)_m^d$ -,
- $(CH_2)_n^dC(=O)(CH_2)_m^d$ -,
- $(CH_2)_n^d(C=O)N(R^{6d})(CH_2)_m^d$ -,
- $(CH_2)_n^dN(R^{6d})(C=O)(CH_2)_m^d$ -, and
- 20 - $(CH_2)_n^dS(O)_p^d(CH_2)_m^d$ -;

wherein one or more of the methylene groups in U^d is optionally substituted with R^{7d} ;

25 Q^d is selected from 1,2-cycloalkylene, 1,2-phenylene, 1,3-phenylene, 1,4-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, 2,4-pyridinylene, and 3,4-pyridazinylene;

30 R^{6d} is selected from: H, C_1 - C_4 alkyl, and benzyl;

R^{7d} and R^{8d} are independently selected from: H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, C_4 - C_{11} cycloalkylalkyl, aryl, aryl(C_1 - C_6 alkyl)-, and heteroaryl(C_0 - C_6 alkyl)-;

35

R^{10d} is selected from: H, R^{1de} , C_1 - C_4 alkoxy substituted
 with 0-1 R^{21d} , $N(R^{6d})_2$, halogen, NO_2 , CN, CF_3 ,
 CO_2R^{17d} , $C(=O)R^{17d}$, $CONR^{17d}R^{20d}$, $-SO_2R^{17d}$,
 $-SO_2NR^{17d}R^{20d}$, C_1 - C_6 alkyl substituted with 0-1 R^{15d}
 5 or 0-1 R^{21d} , C_3 - C_6 alkenyl substituted with 0-1 R^{15d}
 or 0-1 R^{21d} , C_3 - C_7 cycloalkyl substituted with 0-1
 R^{15d} or 0-1 R^{21d} , C_4 - C_{11} cycloalkylalkyl substituted
 with 0-1 R^{15d} or 0-1 R^{21d} , aryl substituted with 0-1
 R^{15d} or 0-2 R^{11d} or 0-1 R^{21d} , and aryl(C_1 - C_6 alkyl)-
 10 substituted with 0-1 R^{15d} or 0-2 R^{11d} or 0-1 R^{21d} ;

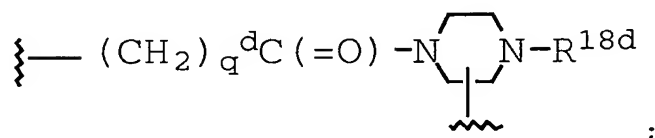
R^{10de} is selected from: H, C_1 - C_4 alkoxy substituted with
 0-1 R^{21d} , $N(R^{6d})_2$, halogen, NO_2 , CN, CF_3 , CO_2R^{17d} ,
 $C(=O)R^{17d}$, $CONR^{17d}R^{20d}$, $-SO_2R^{17d}$, $-SO_2NR^{17d}R^{20d}$, C_1 - C_6
 15 alkyl substituted with 0-1 R^{15d} or 0-1 R^{21d} , C_3 - C_6
 alkenyl substituted with 0-1 R^{15d} or 0-1 R^{21d} , C_3 - C_7
 cycloalkyl substituted with 0-1 R^{15d} or 0-1 R^{21d} ,
 C_4 - C_{11} cycloalkylalkyl substituted with 0-1 R^{15d} or
 0-1 R^{21d} , aryl substituted with 0-1 R^{15d} or 0-2 R^{11d}
 20 or 0-1 R^{21d} , and aryl(C_1 - C_6 alkyl)- substituted with
 0-1 R^{15d} or 0-2 R^{11d} or 0-1 R^{21d} ;

R^{11d} is selected from H, halogen, CF_3 , CN, NO_2 , hydroxy,
 $NR^{2d}R^{3d}$, C_1 - C_4 alkyl substituted with 0-1 R^{21d} , C_1 - C_4
 25 alkoxy substituted with 0-1 R^{21d} , aryl substituted
 with 0-1 R^{21d} , aryl(C_1 - C_6 alkyl)- substituted with
 0-1 R^{21d} , (C_1 - C_4 alkoxy)carbonyl substituted with 0-1
 R^{21d} , (C_1 - C_4 alkyl)carbonyl substituted with 0-1 R^{21d} ,
 C_1 - C_4 alkylsulfonyl substituted with 0-1 R^{21d} , and
 30 C_1 - C_4 alkylaminosulfonyl substituted with 0-1 R^{21d} ;

W^d is selected from:

$-(C(R^{12d})_2)_q^dC(=O)N(R^{13d})-$, and
 $-C(=O)-N(R^{13d})-(C(R^{12d})_2)_q^d-$;

X^d is $-C(R^{12d})(R^{14d})-C(R^{12d})(R^{15d})-$; or
alternatively, W^d and X^d can be taken together to be



5 R^{12d} is selected from H, halogen, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_7 cycloalkyl, C_4 - C_{10} cycloalkylalkyl, $(C_1$ - C_4 alkyl)carbonyl, aryl,
10 and aryl(C_1 - C_6 alkyl)-;

R^{13d} is selected from H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkylmethyl, and aryl(C_1 - C_6 alkyl)-;

15 R^{14d} is selected from:
H, C_1 - C_6 alkylthio(C_1 - C_6 alkyl)-, aryl(C_1 - C_{10} alkylthioalkyl)-, aryl(C_1 - C_{10} alkoxyalkyl)-, C_1 - C_{10} alkyl, C_1 - C_{10} alkoxyalkyl, C_1 - C_6 hydroxyalkyl, C_2 - C_{10} alkenyl, C_2 - C_{10} alkynyl, C_3 - C_{10} cycloalkyl, C_3 - C_{10} cycloalkylalkyl, aryl(C_1 - C_6 alkyl)-, heteroaryl(C_1 - C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17d} , $C(=O)R^{17d}$, and $CONR^{17d}R^{20d}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be
20 unsubstituted or substituted independently with 0-1 R^{16d} or 0-2 R^{11d} ;

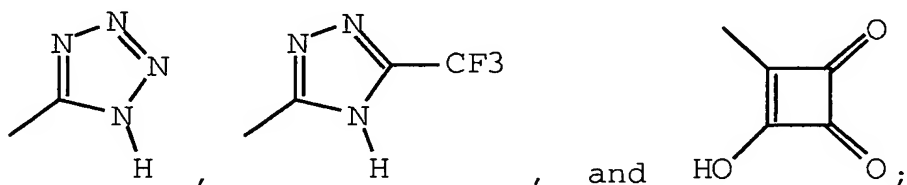
R^{15d} is selected from:
H, R^{16d} , C_1 - C_{10} alkyl, C_1 - C_{10} alkoxyalkyl, C_1 - C_{10} alkylaminoalkyl, C_1 - C_{10} dialkylaminoalkyl,
30 $(C_1$ - C_{10} alkyl)carbonyl, aryl(C_1 - C_6 alkyl)carbonyl, C_1 - C_{10} alkenyl, C_1 - C_{10} alkynyl, C_3 - C_{10} cycloalkyl, C_3 - C_{10} cycloalkylalkyl, aryl(C_1 - C_6 alkyl)-, heteroaryl(C_1 - C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17d} , $C(=O)R^{17d}$, $CONR^{17d}R^{20d}$, SO_2R^{17d} , and $SO_2NR^{17d}R^{20d}$,

provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-2 R^{11d};

5 Y^d is selected from:

-COR^{19d}, -SO₃H, -PO₃H, tetrazolyl, -CONHNHSO₂CF₃, -
CONHSO₂R^{17d}, -CONHSO₂NHR^{17d}, -NHCOCF₃, -NHCONHSO₂R^{17d},
-NHSO₂R^{17d}, -OPO₃H₂, -OSO₃H, -PO₃H₂, -SO₃H, -
SO₂NHCOR^{17d}, -SO₂NHCO₂R^{17d},

10



R^{16d} is selected from:

-N(R^{20d})-C(=O)-O-R^{17d},
15 -N(R^{20d})-C(=O)-R^{17d},
-N(R^{20d})-C(=O)-NH-R^{17d},
-N(R^{20d})SO₂-R^{17d}, and
-N(R^{20d})SO₂-NR^{20d}R^{17d};

20 R^{17d} is selected from:

C₁-C₁₀ alkyl optionally substituted with a bond to L_n, C₃-C₁₁ cycloalkyl optionally substituted with a bond to L_n, aryl(C₁-C₆ alkyl)- optionally substituted with a bond to L_n, (C₁-C₆ alkyl)aryl optionally substituted with a bond to L_n, heteroaryl(C₁-C₆ alkyl)- optionally substituted with a bond to L_n, (C₁-C₆ alkyl)heteroaryl optionally substituted with a bond to L_n, biaryl(C₁-C₆ alkyl)- optionally substituted with a bond to L_n, heteroaryl optionally substituted with a bond to L_n, aryl optionally substituted with a bond to L_n, biaryl optionally substituted with a bond to L_n, and a bond to L_n, wherein said aryl, biaryl or heteroaryl groups are

also optionally substituted with 0-3 substituents selected from the group consisting of: C₁-C₄ alkyl, C₁-C₄ alkoxy, aryl, heteroaryl, halo, cyano, amino, CF₃, and NO₂;

5

R^{18d} is selected from:

-H,

-C(=O)-O-R^{17d},

-C(=O)-R^{17d},

10 -C(=O)-NH-R^{17d},

-SO₂-R^{17d}, and

-SO₂-NR^{20d}R^{17d};

R^{19d} is selected from: hydroxy, C₁-C₁₀ alkyloxy,

15

C₃-C₁₁ cycloalkyloxy, aryloxy, aryl(C₁-C₆ alkoxy)-,

C₃-C₁₀ alkylcarbonyloxyalkyloxy, C₃-C₁₀

alkoxycarbonyloxyalkyloxy,

C₂-C₁₀ alkoxycarbonylalkyloxy,

C₅-C₁₀ cycloalkylcarbonyloxyalkyloxy,

20

C₅-C₁₀ cycloalkoxycarbonyloxyalkyloxy,

C₅-C₁₀ cycloalkoxycarbonylalkyloxy,

C₇-C₁₁ aryloxycarbonylalkyloxy,

C₈-C₁₂ aryloxycarbonyloxyalkyloxy,

C₈-C₁₂ arylcarbonyloxyalkyloxy,

25

C₅-C₁₀ alkoxyalkylcarbonyloxyalkyloxy,

C₅-C₁₀ (5-alkyl-1,3-dioxo-cyclopenten-2-one-

yl)methyloxy, C₁₀-C₁₄ (5-aryl-1,3-dioxo-cyclopenten-

2-one-yl)methyloxy, and

(R^{11d})(R^{12d})N-(C₁-C₁₀ alkoxy)-;

30

R^{20d} is selected from: H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl,

C₄-C₁₁ cycloalkylalkyl, aryl, aryl(C₁-C₆ alkyl)-, and

heteroaryl(C₁-C₆ alkyl)-;

35

R^{21d} is selected from: COOH and NR^{6d}₂;

m^d is 0-4;

n^d is 0-4;

t^d is 0-4;

p^d is 0-2;

5 q^d is 0-2; and

r^d is 0-2;

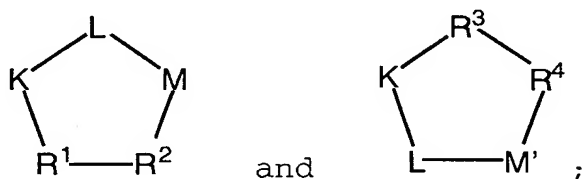
with the following provisos:

(1) t^d , n^d , m^d and q^d are chosen such that the number of
10 atoms connecting R^{1d} and Y^d is in the range of 10-14;
and

(2) n^d and m^d are chosen such that the value of n^d plus
 m^d is greater than one unless U^d is
- $(CH_2)_{t^d} Q^{dd} (CH_2)_{m^d} -$;

15

or Q is a peptide selected from the group:



R^1 is L-valine, D-valine or L-lysine optionally
20 substituted on the ϵ amino group with a bond to L_n ;

R^2 is L-phenylalanine, D-phenylalanine,
D-1-naphthylalanine, 2-aminothiazole-4-acetic acid
or tyrosine, the tyrosine optionally substituted on
25 the hydroxy group with a bond to L_n ;

R^3 is D-valine;

R⁴ is D-tyrosine substituted on the hydroxy group with a bond to L_n;

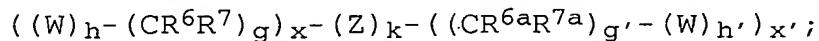
provided that one of R¹ and R² in each Q is substituted
 5 with a bond to L_n, and further provided that when R²
 is 2-aminothiazole-4-acetic acid, K is
 N-methylarginine;

provided that at least one Q is a compound of Formula
 10 (Ia) or (Ib);

d is selected from 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;

d' is 1-100;
 15

L_n is a linking group having the formula:



W is independently selected at each occurrence from the
 20 group: O, S, NH, NHC(=O), C(=O)NH, NR⁸C(=O), C(=O)N
 R⁸, C(=O), C(=O)O, OC(=O), NHC(=S)NH, NHC(=O)NH, SO₂,
 SO₂NH, (OCH₂CH₂)_s, (CH₂CH₂O)_{s'}, (OCH₂CH₂CH₂)_{s''},
 (CH₂CH₂CH₂O)_t, and (aa)_{t'};

25 aa is independently at each occurrence an amino acid;

Z is selected from the group: aryl substituted with 0-3
 R¹⁰, C₃₋₁₀ cycloalkyl substituted with 0-3 R¹⁰, and a
 5-10 membered heterocyclic ring system containing
 30 1-4 heteroatoms independently selected from N, S,
 and O and substituted with 0-3 R¹⁰;

R⁶, R^{6a}, R⁷, R^{7a}, and R⁸ are independently selected at
 each occurrence from the group: H, =O, COOH, SO₃H,
 35 PO₃H, C₁-C₅ alkyl substituted with 0-3 R¹⁰, aryl
 substituted with 0-3 R¹⁰, benzyl substituted with 0-3
 R¹⁰, and C₁-C₅ alkoxy substituted with 0-3 R¹⁰,

NHC(=O)R¹¹, C(=O)NHR¹¹, NHC(=O)NHR¹¹, NHR¹¹, R¹¹, and a bond to C_h;

R¹⁰ is independently selected at each occurrence from the group: a bond to C_h, COOR¹¹, C(=O)NHR¹¹, NHC(=O)R¹¹, OH, NHR¹¹, SO₃H, PO₃H, -OPO₃H₂, -OSO₃H, aryl substituted with 0-3 R¹¹, C₁₋₅ alkyl substituted with 0-1 R¹², C₁₋₅ alkoxy substituted with 0-1 R¹², and a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-3 R¹¹;

R¹¹ is independently selected at each occurrence from the group: H, alkyl substituted with 0-1 R¹², aryl substituted with 0-1 R¹², a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-1 R¹², C₃₋₁₀ cycloalkyl substituted with 0-1 R¹², polyalkylene glycol substituted with 0-1 R¹², carbohydrate substituted with 0-1 R¹², cyclodextrin substituted with 0-1 R¹², amino acid substituted with 0-1 R¹², polycarboxyalkyl substituted with 0-1 R¹², polyazaalkyl substituted with 0-1 R¹², and peptide substituted with 0-1 R¹², wherein the peptide is comprised of 2-10 amino acids, 3,6-O-disulfo-B-D-galactopyranosyl, bis(phosphonomethyl)glycine, and a bond to C_h;

R¹² is a bond to C_h;

30

k is selected from 0, 1, and 2;

h is selected from 0, 1, and 2;

h' is selected from 0, 1, and 2;

g is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;

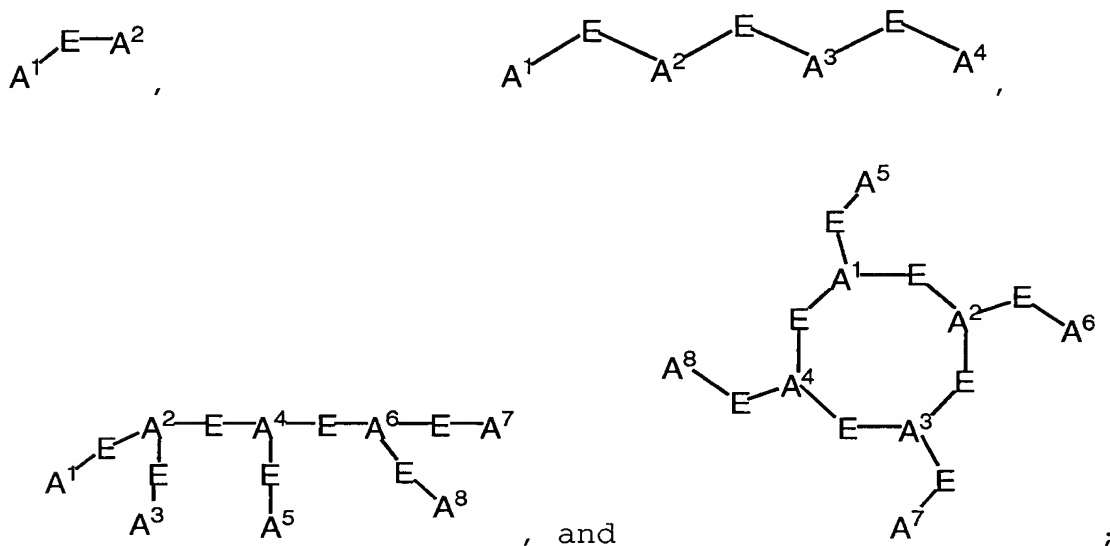
35 g' is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;

s is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;

- s' is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;
 s'' is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;
 t is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;
 t' is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;
 5 x is selected from 0, 1, 2, 3, 4, and 5;
 x' is selected from 0, 1, 2, 3, 4, and 5;

C_h is a metal bonding unit having a formula selected from the group:

10



- 15 A¹, A², A³, A⁴, A⁵, A⁶, A⁷, and A⁸ are independently selected at each occurrence from the group: NR¹³, NR¹³R¹⁴, S, SH, S(Pg), O, OH, PR¹³, PR¹³R¹⁴, P(O)R¹⁵R¹⁶, and a bond to L_n;
- 20 E is a bond, CH, or a spacer group independently selected at each occurrence from the group: C₁-C₁₀ alkyl substituted with 0-3 R¹⁷, aryl substituted with 0-3 R¹⁷, C₃₋₁₀ cycloalkyl substituted with 0-3 R¹⁷, heterocyclo-C₁₋₁₀ alkyl substituted with 0-3 R¹⁷,
- 25 wherein the heterocyclo group is a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O, C₆₋₁₀

aryl-C₁₋₁₀ alkyl substituted with 0-3 R¹⁷, C₁₋₁₀
 alkyl-C₆₋₁₀ aryl- substituted with 0-3 R¹⁷, and a
 5-10 membered heterocyclic ring system containing
 1-4 heteroatoms independently selected from N, S,
 5 and O and substituted with 0-3 R¹⁷;

R¹³ and R¹⁴ are each independently selected from the
 group: a bond to L_n, hydrogen, C_{1-C10} alkyl
 substituted with 0-3 R¹⁷, aryl substituted with 0-3
 10 R¹⁷, C₁₋₁₀ cycloalkyl substituted with 0-3 R¹⁷,
 heterocyclo-C₁₋₁₀ alkyl substituted with 0-3 R¹⁷,
 wherein the heterocyclo group is a 5-10 membered
 heterocyclic ring system containing 1-4 heteroatoms
 independently selected from N, S, and O, C₆₋₁₀
 15 aryl-C₁₋₁₀ alkyl substituted with 0-3 R¹⁷, C₁₋₁₀
 alkyl-C₆₋₁₀ aryl- substituted with 0-3 R¹⁷, a 5-10
 membered heterocyclic ring system containing 1-4
 heteroatoms independently selected from N, S, and O
 and substituted with 0-3 R¹⁷, and an electron,
 20 provided that when one of R¹³ or R¹⁴ is an electron,
 then the other is also an electron;

alternatively, R¹³ and R¹⁴ combine to form =C(R²⁰)(R²¹);

25 R¹⁵ and R¹⁶ are each independently selected from the
 group: a bond to L_n, -OH, C_{1-C10} alkyl substituted
 with 0-3 R¹⁷, C_{1-C10} alkyl substituted with 0-3 R¹⁷,
 aryl substituted with 0-3 R¹⁷, C₃₋₁₀ cycloalkyl
 substituted with 0-3 R¹⁷, heterocyclo-C₁₋₁₀ alkyl
 30 substituted with 0-3 R¹⁷, wherein the heterocyclo
 group is a 5-10 membered heterocyclic ring system
 containing 1-4 heteroatoms independently selected
 from N, S, and O, C₆₋₁₀ aryl-C₁₋₁₀ alkyl substituted
 with 0-3 R¹⁷, C₁₋₁₀ alkyl-C₆₋₁₀ aryl- substituted with

0-3 R¹⁷, and a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-3 R¹⁷;

5

R¹⁷ is independently selected at each occurrence from the group: a bond to L_n, =O, F, Cl, Br, I, -CF₃, -CN, -CO₂R¹⁸, -C(=O)R¹⁸, -C(=O)N(R¹⁸)₂, -CHO, -CH₂OR¹⁸, -OC(=O)R¹⁸, -OC(=O)OR^{18a}, -OR¹⁸, -OC(=O)N(R¹⁸)₂,
 10 -NR¹⁹C(=O)R¹⁸, -NR¹⁹C(=O)OR^{18a}, -NR¹⁹C(=O)N(R¹⁸)₂, -NR¹⁹SO₂N(R¹⁸)₂, -NR¹⁹SO₂R^{18a}, -SO₃H, -SO₂R^{18a}, -SR¹⁸, -S(=O)R^{18a}, -SO₂N(R¹⁸)₂, -N(R¹⁸)₂, -NHC(=S)NHR¹⁸, =NOR¹⁸, NO₂, -C(=O)NHOR¹⁸, -C(=O)NHN(R¹⁸)R^{18a}, -OCH₂CO₂H, 2-(1-morpholino)ethoxy,
 15 C₁-C₅ alkyl, C₂-C₄ alkenyl, C₃-C₆ cycloalkyl, C₃-C₆ cycloalkylmethyl, C₂-C₆ alkoxyalkyl, aryl substituted with 0-2 R¹⁸, and a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O;

20

R¹⁸, R^{18a}, and R¹⁹ are independently selected at each occurrence from the group: a bond to L_n, H, C₁-C₆ alkyl, phenyl, benzyl, C₁-C₆ alkoxy, halide, nitro, cyano, and trifluoromethyl;

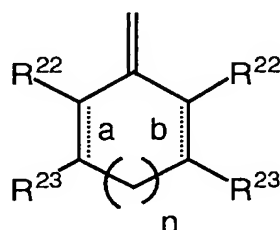
25

Pg is a thiol protecting group;

R²⁰ and R²¹ are independently selected from the group: H, C₁-C₁₀ alkyl, -CN, -CO₂R²⁵, -C(=O)R²⁵, -C(=O)N(R²⁵)₂,
 30 C₂-C₁₀ 1-alkene substituted with 0-3 R²³, C₂-C₁₀ 1-alkyne substituted with 0-3 R²³, aryl substituted with 0-3 R²³, unsaturated 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-3

R^{23} , and unsaturated C_{3-10} carbocycle substituted with 0-3 R^{23} ;

alternatively, R^{20} and R^{21} , taken together with the
 5 divalent carbon radical to which they are attached form:



10 R^{22} and R^{23} are independently selected from the group: H, R^{24} , C_1-C_{10} alkyl substituted with 0-3 R^{24} , C_2-C_{10} alkenyl substituted with 0-3 R^{24} , C_2-C_{10} alkynyl substituted with 0-3 R^{24} , aryl substituted with 0-3 R^{24} , a 5-10 membered heterocyclic ring system
 15 containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-3 R^{24} , and C_{3-10} carbocycle substituted with 0-3 R^{24} ;

alternatively, R^{22} , R^{23} taken together form a fused
 20 aromatic or a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O;

a and **b** indicate the positions of optional double bonds
 25 and **n** is 0 or 1;

R^{24} is independently selected at each occurrence from the group: =O, F, Cl, Br, I, $-CF_3$, $-CN$, $-CO_2R^{25}$, $-C(=O)R^{25}$, $-C(=O)N(R^{25})_2$, $-N(R^{25})_3^+$, $-CH_2OR^{25}$,
 30 $-OC(=O)R^{25}$, $-OC(=O)OR^{25a}$, $-OR^{25}$, $-OC(=O)N(R^{25})_2$, $-NR^{26}C(=O)R^{25}$, $-NR^{26}C(=O)OR^{25a}$, $-NR^{26}C(=O)N(R^{25})_2$,

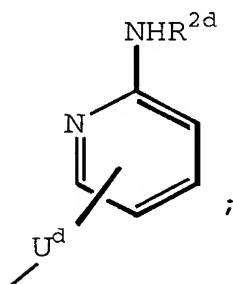
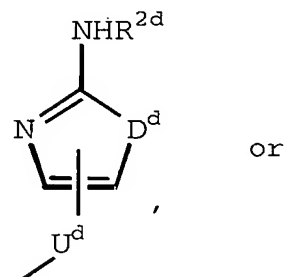
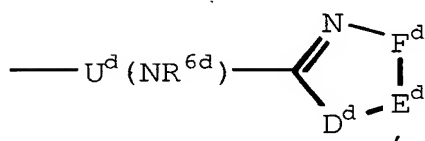
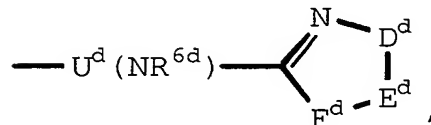
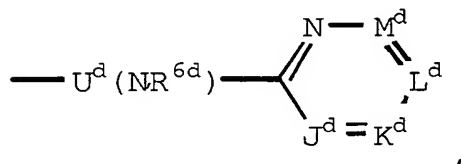
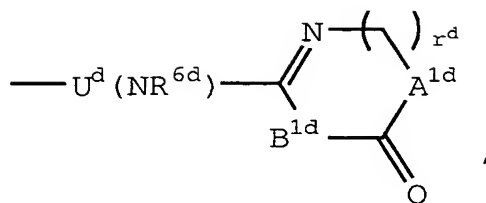
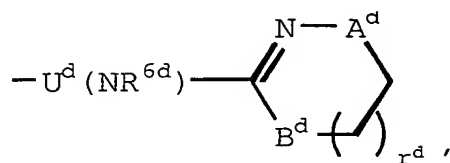
-NR²⁶SO₂N(R²⁵)₂, -NR²⁶SO₂R^{25a}, -SO₃H, -SO₂R^{25a}, -SR²⁵,
 -S(=O)R^{25a}, -SO₂N(R²⁵)₂, -N(R²⁵)₂, =NOR²⁵,
 -C(=O)NHOR²⁵, -OCH₂CO₂H, and 2-(1-morpholino)ethoxy;
 and,

5

R²⁵, R^{25a}, and R²⁶ are each independently selected at each occurrence from the group: hydrogen and C₁-C₆ alkyl.

10 3. A compound according to Claim 2, wherein:

R^{1de} is selected from:



5 A^d and B^d are independently -CH₂-, -O-, -N(R^{2d})-, or -C(=O)-;

A^{1d} and B^{1d} are independently -CH₂- or -N(R^{3d})-;

D^d is -N(R^{2d})-, -O-, -S-, -C(=O)- or -SO₂-;

10

E^d-F^d is -C(R^{4d})=C(R^{5d})-, -N=C(R^{4d})-, -C(R^{4d})=N-, or -C(R^{4d})₂C(R^{5d})₂-;

J^d , K^d , L^d and M^d are independently selected from:
 $C(R^{4d})-$, $-C(R^{5d})-$ and $-N-$, provided that at least one
of J^d , K^d , L^d and M^d is not $-N-$;

- 5 R^{2d} is selected from: H, C_1 - C_6 alkyl, (C_1 - C_6 alkyl)carbonyl, (C_1 - C_6 alkoxy)carbonyl, C_1 - C_6 alkylaminocarbonyl, C_3 - C_6 alkenyl, C_3 - C_7 cycloalkyl, C_4 - C_{11} cycloalkylalkyl, aryl, heteroaryl(C_1 - C_6 alkyl)carbonyl, heteroarylcarbonyl, aryl(C_1 - C_6 alkyl)-, (C_1 - C_6 alkyl)carbonyl, arylcarbonyl, alkylsulfonyl, arylsulfonyl, aryl(C_1 - C_6 alkyl)sulfonyl, heteroarylsulfonyl, heteroaryl(C_1 - C_6 alkyl)sulfonyl, aryloxy carbonyl, and aryl(C_1 - C_6 alkoxy)carbonyl, wherein said aryl groups are substituted with 0-2 substituents selected from the group consisting of C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halo, CF_3 , and nitro;
- 10
- 15
- 20 R^{3d} is selected from: H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, C_4 - C_{11} cycloalkylalkyl, aryl, aryl(C_1 - C_6 alkyl)-, and heteroaryl(C_1 - C_6 alkyl)-;

- R^{4d} and R^{5d} are independently selected from: H, C_1 - C_4 alkoxy, $NR^{2d}R^{3d}$, halogen, NO_2 , CN, CF_3 , C_1 - C_6 alkyl, C_3 - C_6 alkenyl, C_3 - C_7 cycloalkyl, C_4 - C_{11} cycloalkylalkyl, aryl, aryl(C_1 - C_6 alkyl)-, C_2 - C_7 alkylcarbonyl, and arylcarbonyl;
- 25
- 30 alternatively, when substituents on adjacent atoms, R^{4d} and R^{5d} can be taken together with the carbon atoms to which they are attached to form a 5-7 membered carbocyclic or 5-7 membered heterocyclic aromatic or non-aromatic ring system, said carbocyclic or
- 35 heterocyclic ring being optionally substituted with

0-2 groups selected from: C₁-C₄ alkyl, C₁-C₄ alkoxy, halo, cyano, amino, CF₃, or NO₂;

U^d is selected from:

- (CH₂)_n^d -,
- 5 - (CH₂)_n^d (CR^{7d}=CR^{8d}) (CH₂)_m^d -,
- (CH₂)_t^d Q^d (CH₂)_m^d -,
- (CH₂)_n^d O (CH₂)_m^d -,
- (CH₂)_n^d N(R^{6d}) (CH₂)_m^d -,
- (CH₂)_n^d C(=O) (CH₂)_m^d -, and
- 10 - (CH₂)_n^d S(O)_p^d (CH₂)_m^d -;

wherein one or more of the methylene groups in U^d is optionally substituted with R^{7d};

- 15 Q^d is selected from 1,2-phenylene, 1,3-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, and 2,4-pyridinylene;

R^{6d} is selected from: H, C₁-C₄ alkyl, and benzyl;

20

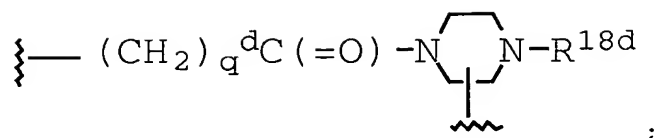
R^{7d} and R^{8d} are independently selected from: H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, C₄-C₁₁ cycloalkylalkyl, aryl, aryl(C₁-C₆ alkyl)-, and heteroaryl(C₀-C₆ alkyl)-;

25

W^d is -C(=O)-N(R^{13d})-(C(R^{12d})₂)_q^d -;

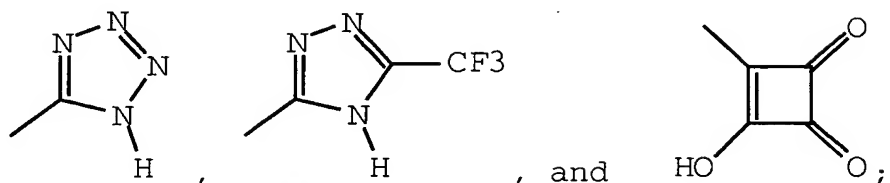
X^d is -C(R^{12d})(R^{14d})-C(R^{12d})(R^{15d})-;

- 30 alternatively, W^d and X^d can be taken together to be



R^{12d} is H or $\text{C}_1\text{--C}_6$ alkyl;

- 5 Y^d is selected from:
 ---COR^{19d} , $\text{---SO}_3\text{H}$,



10

d is selected from 1, 2, 3, 4, and 5;

d' is 1-50;

- 15 W is independently selected at each occurrence from the group: O, NH, $\text{NHC}(=\text{O})$, $\text{C}(=\text{O})\text{NH}$, $\text{NR}^8\text{C}(=\text{O})$, $\text{C}(=\text{O})\text{NR}^8$, $\text{C}(=\text{O})$, $\text{C}(=\text{O})\text{O}$, $\text{OC}(=\text{O})$, $\text{NHC}(=\text{S})\text{NH}$, $\text{NHC}(=\text{O})\text{NH}$, SO_2 , $(\text{OCH}_2\text{CH}_2)_s$, $(\text{CH}_2\text{CH}_2\text{O})_{s'}$, $(\text{OCH}_2\text{CH}_2\text{CH}_2)_{s''}$, $(\text{CH}_2\text{CH}_2\text{CH}_2\text{O})_t$, and $(\text{aa})_{t'}$;

20

aa is independently at each occurrence an amino acid;

- Z is selected from the group: aryl substituted with 0-1 R^{10} , $\text{C}_3\text{--C}_{10}$ cycloalkyl substituted with 0-1 R^{10} , and a
 25 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-1 R^{10} ;

- R^6 , R^{6a} , R^7 , R^{7a} , and R^8 are independently selected at
 30 each occurrence from the group: H, $=\text{O}$, COOH , SO_3H , $\text{C}_1\text{--C}_5$ alkyl substituted with 0-1 R^{10} , aryl

substituted with 0-1 R^{10} , benzyl substituted with 0-1 R^{10} , and C_1 - C_5 alkoxy substituted with 0-1 R^{10} , $NHC(=O)R^{11}$, $C(=O)NHR^{11}$, $NHC(=O)NHR^{11}$, NHR^{11} , R^{11} , and a bond to C_h ;

5

k is 0 or 1;
 s is selected from 0, 1, 2, 3, 4, and 5;
 s' is selected from 0, 1, 2, 3, 4, and 5;
 s'' is selected from 0, 1, 2, 3, 4, and 5;
 10 t is selected from 0, 1, 2, 3, 4, and 5;

A^1 , A^2 , A^3 , A^4 , A^5 , A^6 , A^7 , and A^8 are independently selected at each occurrence from the group: NR^{13} , $NR^{13}R^{14}$, S , SH , $S(Pg)$, OH , and a bond to L_n ;

15

E is a bond, CH , or a spacer group independently selected at each occurrence from the group: C_1 - C_{10} alkyl substituted with 0-3 R^{17} , aryl substituted with 0-3 R^{17} , C_3 - C_{10} cycloalkyl substituted with 0-3 R^{17} , and a
 20 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N , S , and O and substituted with 0-3 R^{17} ;

R^{13} and R^{14} are each independently selected from the
 25 group: a bond to L_n , hydrogen, C_1 - C_{10} alkyl substituted with 0-3 R^{17} , aryl substituted with 0-3 R^{17} , a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N , S , and O and substituted with 0-3 R^{17} , and
 30 an electron, provided that when one of R^{13} or R^{14} is an electron, then the other is also an electron;

alternatively, R^{13} and R^{14} combine to form $=C(R^{20})(R^{21})$;

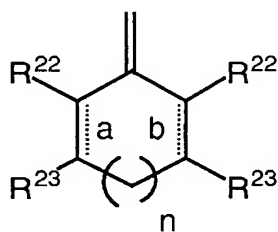
35 R^{17} is independently selected at each occurrence from the group: a bond to L_n , $=O$, F , Cl , Br , I , $-CF_3$, $-CN$,

$-\text{CO}_2\text{R}^{18}$, $-\text{C}(=\text{O})\text{R}^{18}$, $-\text{C}(=\text{O})\text{N}(\text{R}^{18})_2$, $-\text{CH}_2\text{OR}^{18}$,
 $-\text{OC}(=\text{O})\text{R}^{18}$, $-\text{OC}(=\text{O})\text{OR}^{18a}$, $-\text{OR}^{18}$, $-\text{OC}(=\text{O})\text{N}(\text{R}^{18})_2$,
 $-\text{NR}^{19}\text{C}(=\text{O})\text{R}^{18}$, $-\text{NR}^{19}\text{C}(=\text{O})\text{OR}^{18a}$, $-\text{NR}^{19}\text{C}(=\text{O})\text{N}(\text{R}^{18})_2$,
 $-\text{NR}^{19}\text{SO}_2\text{N}(\text{R}^{18})_2$, $-\text{NR}^{19}\text{SO}_2\text{R}^{18a}$, $-\text{SO}_3\text{H}$, $-\text{SO}_2\text{R}^{18a}$,
5 $-\text{S}(=\text{O})\text{R}^{18a}$, $-\text{SO}_2\text{N}(\text{R}^{18})_2$, $-\text{N}(\text{R}^{18})_2$, $-\text{NHC}(=\text{S})\text{NHR}^{18}$,
 $=\text{NOR}^{18}$, $-\text{C}(=\text{O})\text{NHN}(\text{R}^{18})\text{R}^{18a}$, $-\text{OCH}_2\text{CO}_2\text{H}$, and
2-(1-morpholino)ethoxy;

10 R^{18} , R^{18a} , and R^{19} are independently selected at each
occurrence from the group: a bond to L_n , H, and
 $\text{C}_1\text{-C}_6$ alkyl;

15 R^{20} and R^{21} are independently selected from the group: H,
 $\text{C}_1\text{-C}_5$ alkyl, $-\text{CO}_2\text{R}^{25}$, $\text{C}_2\text{-C}_5$ 1-alkene substituted with
0-3 R^{23} , $\text{C}_2\text{-C}_5$ 1-alkyne substituted with 0-3 R^{23} ,
aryl substituted with 0-3 R^{23} , and unsaturated 5-10
membered heterocyclic ring system containing 1-4
heteroatoms independently selected from N, S, and O
and substituted with 0-3 R^{23} ;

20 alternatively, R^{20} and R^{21} , taken together with the
divalent carbon radical to which they are attached
form:



25 R^{22} and R^{23} are independently selected from the group: H,
and R^{24} ;

30 alternatively, R^{22} , R^{23} taken together form a fused
aromatic or a 5-10 membered heterocyclic ring system

containing 1-4 heteroatoms independently selected from N, S, and O;

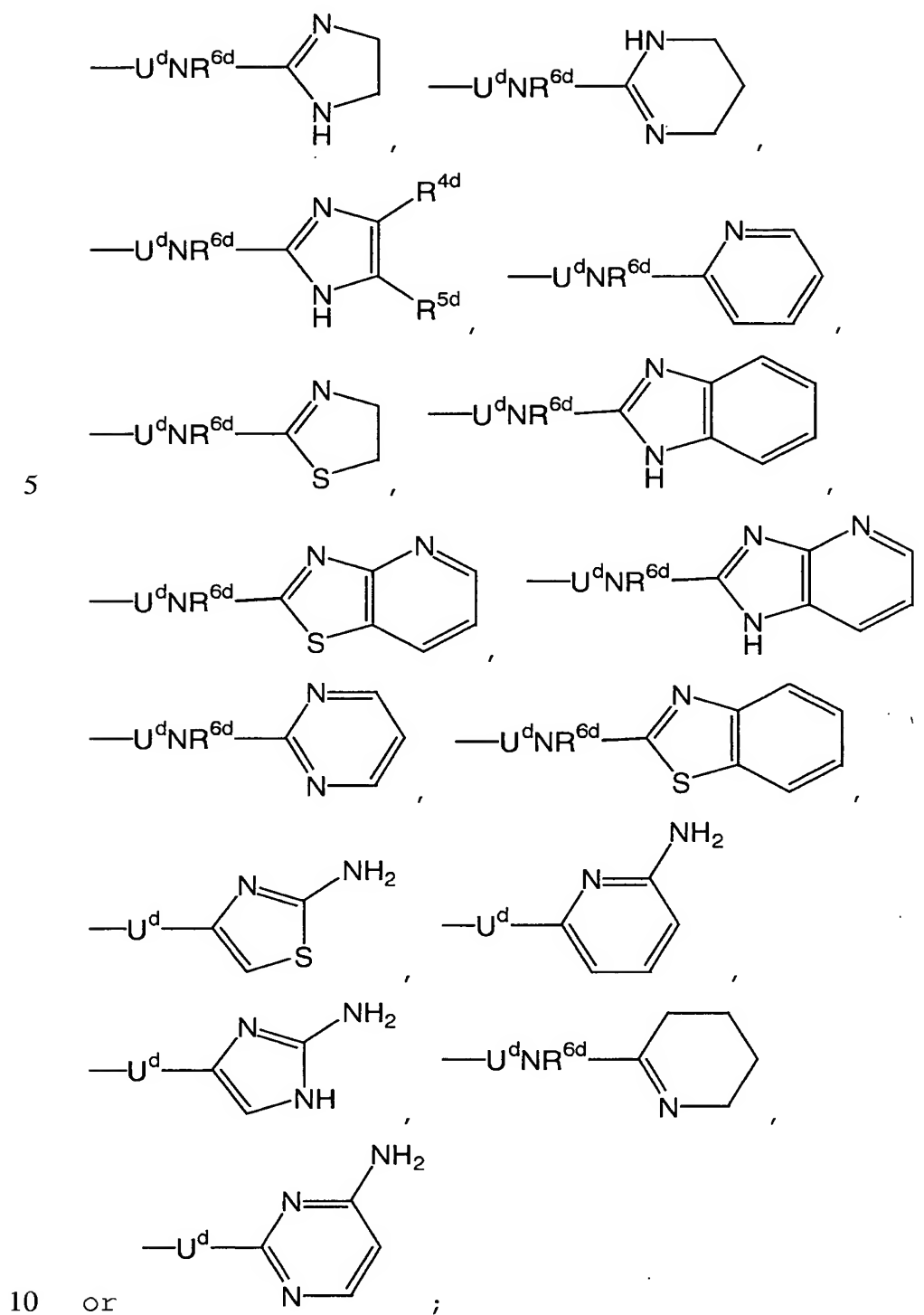
5 R^{24} is independently selected at each occurrence from the group: $-CO_2R^{25}$, $-C(=O)N(R^{25})_2$, $-CH_2OR^{25}$, $-OC(=O)R^{25}$, $-OR^{25}$, $-SO_3H$, $-N(R^{25})_2$, and $-OCH_2CO_2H$; and,

R^{25} is independently selected at each occurrence from the group: H and C_1-C_3 alkyl.

10

4. A compound according to Claim 3, wherein:

R^{1de} is selected from:



wherein the above heterocycles are optionally substituted with 0-2 substituents selected from the group: NH₂,

halogen, NO₂, CN, CF₃, C₁-C₄ alkoxy, C₁-C₆ alkyl, and C₃-C₇ cycloalkyl;

5 U^d is $-(CH_2)_n-$, $-(CH_2)_t^d Q^d (CH_2)_m^d-$ or $-C(=O)(CH_2)_n^d-$,
wherein one of the methylene groups is optionally substituted with R^{7d};

10 R^{7d} is selected from: C₁-C₆ alkyl, C₃-C₇ cycloalkyl, C₄-C₁₁ cycloalkylalkyl, aryl, aryl(C₁-C₆ alkyl), heteroaryl, and heteroaryl(C₁-C₆ alkyl);

15 R^{10d} is selected from: H, R^{1de}, C₁-C₄ alkoxy substituted with 0-1 R^{21d}, halogen, CO₂R^{17d}, CONR^{17d}R^{20d}, C₁-C₆ alkyl substituted with 0-1 R^{15d} or 0-1 R^{21d}, C₃-C₇ cycloalkyl substituted with 0-1 R^{15d} or 0-1 R^{21d}, C₄-C₁₁ cycloalkylalkyl substituted with 0-1 R^{15d} or 0-1 R^{21d}, and aryl(C₁-C₆ alkyl)- substituted with 0-1 R^{15d} or 0-2 R^{11d} or 0-1 R^{21d};

20 R^{10de} is selected from: H, C₁-C₄ alkoxy substituted with 0-1 R^{21d}, halogen, CO₂R^{17d}, CONR^{17d}R^{20d}, C₁-C₆ alkyl substituted with 0-1 R^{15d} or 0-1 R^{21d}, C₃-C₇ cycloalkyl substituted with 0-1 R^{15d} or 0-1 R^{21d}, C₄-C₁₁ cycloalkylalkyl substituted with 0-1 R^{15d} or
25 0-1 R^{21d}, and aryl(C₁-C₆ alkyl)- substituted with 0-1 R^{15d} or 0-2 R^{11d} or 0-1 R^{21d};

W^d is $-C(=O)-N(R^{13d})-$;

30 X^d is $-CH(R^{14d})-CH(R^{15d})-$;

R^{13d} is H or CH₃;

R^{14d} is selected from:

- H, C₁-C₁₀ alkyl, aryl, or heteroaryl, wherein said aryl or heteroaryl groups are optionally substituted with 0-3 substituents selected from the group consisting of: C₁-C₄ alkyl, C₁-C₄ alkoxy, aryl, halo, cyano, amino, CF₃, and NO₂;

R^{15d} is H or R^{16d};

- 10 Y^d is -COR^{19d};

R^{19d} is selected from:

- hydroxy, C₁-C₁₀ alkoxy, methylcarbonyloxymethoxy-, ethylcarbonyloxymethoxy-, *t*-butylcarbonyloxymethoxy-, cyclohexylcarbonyloxymethoxy-, 1-(methylcarbonyloxy)ethoxy-, 1-(ethylcarbonyloxy)ethoxy-, 1-(*t*-butylcarbonyloxy)ethoxy-, 1-(cyclohexylcarbonyloxy)ethoxy-, *i*-propyloxy carbonyloxymethoxy-, *t*-butyloxy carbonyloxymethoxy-, 1-(*i*-propyloxy carbonyloxy)ethoxy-, 1-(cyclohexyloxy carbonyloxy)ethoxy-, 1-(*t*-butyloxy carbonyloxy)ethoxy-, dimethylaminoethoxy-, diethylaminoethoxy-, (5-methyl-1,3-dioxacyclopenten-2-on-4-yl)methoxy-, (5-(*t*-butyl)-1,3-dioxacyclopenten-2-on-4-yl)methoxy-, (1,3-dioxa-5-phenyl-cyclopenten-2-on-4-yl)methoxy-, and 1-(2-(2-methoxypropyl)carbonyloxy)ethoxy-;

R^{20d} is H or CH₃;

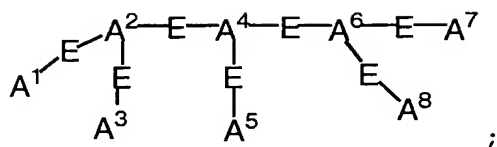
35

m^d is 0 or 1;

n^d is 1-4;

t^d is 0 or 1;

5 C_h is



A^1 is selected from the group: OH, and a bond to L_n ;

10

A^2 , A^4 , and A^6 are each N;

A^3 , A^5 , and A^8 are each OH;

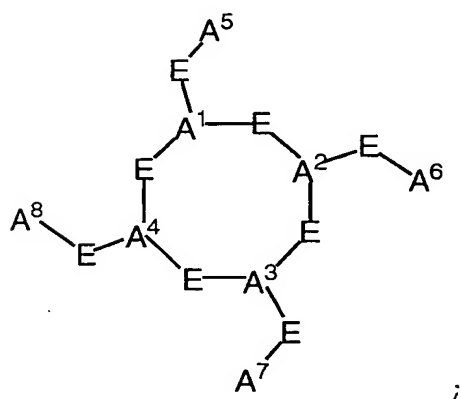
15 A^7 is a bond to L_n or NH-bond to L_n ;

E is a C_2 alkyl substituted with 0-1 R^{17} ;

R^{17} is =O;

20

alternatively, C_h is



25

A^1 is selected from the group: OH and a bond to L_n ;

A², A³ and A⁴ are each N;

A⁵, A⁶ and A⁸ are each OH;

5

A⁷ is a bond to L_n;

E is a C₂ alkyl substituted with 0-1 R¹⁷;

10 R¹⁷ is =O;

alternatively, C_h is
$$\begin{array}{c} \text{A}^1 \text{---} \text{E} \text{---} \text{A}^2 \end{array};$$

A¹ is NH₂ or N=C(R²⁰)(R²¹);

15 E is a bond;

A² is NHR¹³;

20 R¹³ is a heterocycle substituted with R¹⁷, the heterocycle being selected from pyridine and pyrimidine;

R¹⁷ is selected from a bond to L_n, C(=O)NHR¹⁸ and C(=O)R¹⁸;

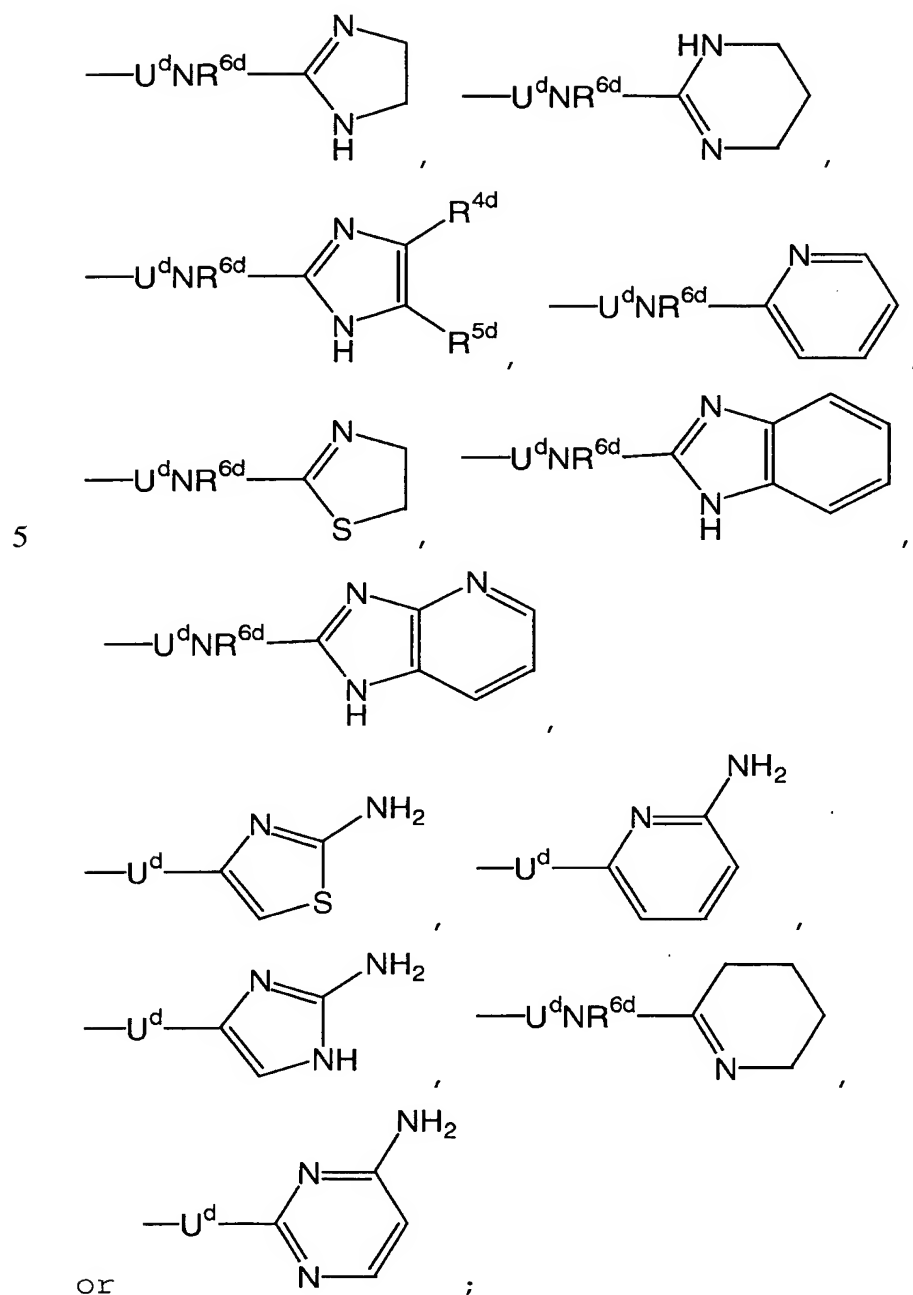
25 R¹⁸ is a bond to L_n;

R²⁴ is selected from the group: -CO₂R²⁵, -OR²⁵, -SO₃H, and -N(R²⁵)₂; and,

30 R²⁵ is independently selected at each occurrence from the group: hydrogen and methyl.

5. A compound according to Claim 4, wherein:

R^{1de} is selected from:



wherein the above heterocycles are optionally substituted with 0-2 substituents selected from the group: NH₂, halogen, NO₂, CN, CF₃, C₁-C₄ alkoxy, C₁-C₆ alkyl, and C₃-C₇ cycloalkyl.

6. A compound according to Claim 2, wherein the compound is selected from the group:

- 2-(((4-(4-(((3-(2-(2-(3-((6-((1-aza-2-(2-sulfophenyl)vinyl)amino)(3-pyridyl))carbonylamino)propoxy)-ethoxy)ethoxy)propyl)amino)sulfonyl)-phenyl)phenyl)sulfonyl)amino)-3-((1-(3-(imidazole-2-ylamino)propyl)(1H-indazol-5-yl))carbonylamino)propanoic acid;
- 2-(2-aza-2-((5-(N-(1,3-bis(3-(2-(2-(3-(((4-(4-(((1-carboxy-2-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonylamino)ethyl)amino)sulfonyl)-phenyl)phenyl)sulfonyl)amino)propoxy)-ethoxy)ethoxy)propyl)carbamoyl)propyl)carbamoyl)(2-pyridyl))amino)vinyl)benzenesulfonic acid;
- 2-((6-((1-aza-2-(sulfophenyl)vinyl)amino)(3-pyridyl))carbonylamino)-4-(N-(3-(2-(2-(3-(((4-(4-(((1-carboxy-2-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonylamino)-ethyl)amino)sulfonyl)phenyl)phenyl)sulfonyl)-amino)propoxy)-ethoxy)ethoxy)propyl)carbamoyl)butanoic acid;
- 3-((1-(3-(imidazole-2-ylamino)propyl)(1H-indazol-5-yl))carbonylamino)-2-(((4-(4-(((3-(2-(2-(3-(2-(1,4,7,10-tetraaza-4,7,10-tris(carboxymethyl)-cyclododecyl)-acetylamino)propoxy)ethoxy)ethoxy)propyl)amino)sulfonyl)phenyl)phenyl)sulfonyl)amino)propanoic acid;
- 2-(6-((6-((1-aza-2-(2-sulfophenyl)vinyl)-amino)(3-pyridyl))carbonylamino)hexanoylamino)-3-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonylamino)-propanoic acid;

2-((6-((1-aza-2-(2-sulfophenyl)vinyl)-amino)(3-pyridyl))carbonylamino)-3-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonylamino)propanoic acid;

5

[2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid]-Glu(2-(6-aminohexanoylamino)-3-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonyl-amino)propanoic acid)(2-(6-aminohexanoylamino)-3-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonyl-amino)propanoic acid);

10

[2-[[[5-[carbonyl]-2-pyridinyl]hydrazono]methyl]-benzenesulfonic acid]-Glu-bis-[Glu(2-(6-Aminohexanoylamino)-3-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonyl-amino)propanoic acid)(2-(6-aminohexanoylamino)-3-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonyl-amino)propanoic acid)];

15

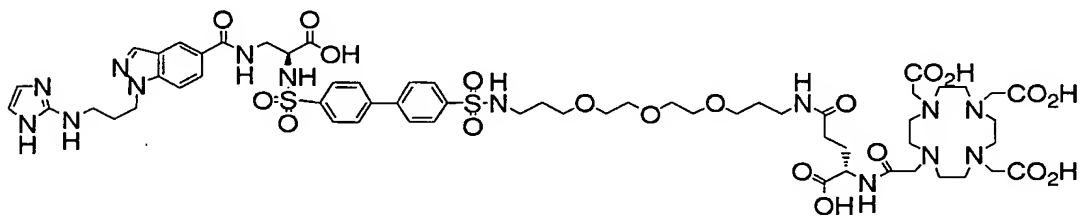
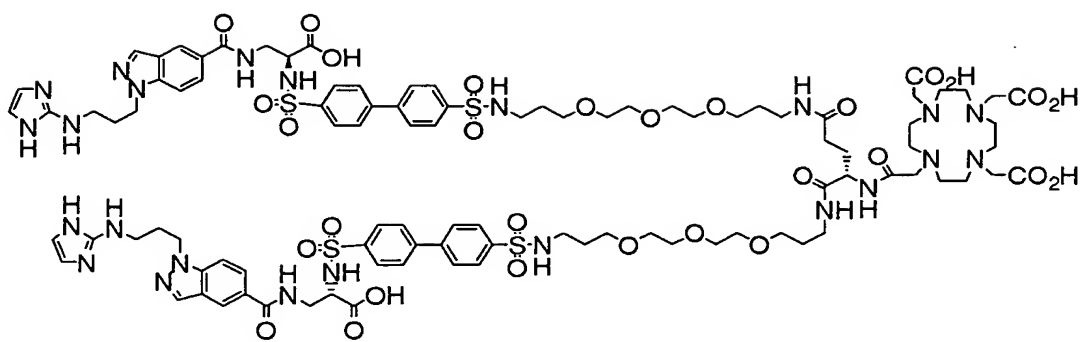
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2-(1,4,7,10-tetraaza-4,7,10-tris(carboxymethyl)-1-cyclododecyl)acetyl-{2-(6-aminohexanoylamino)-3-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonyl-amino)propanoic acid};

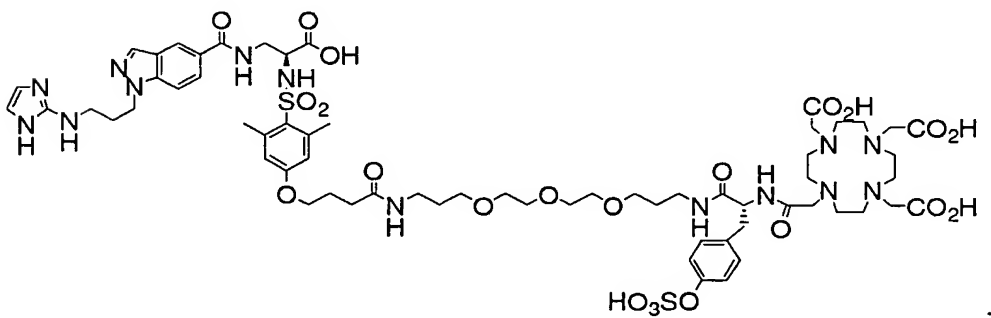
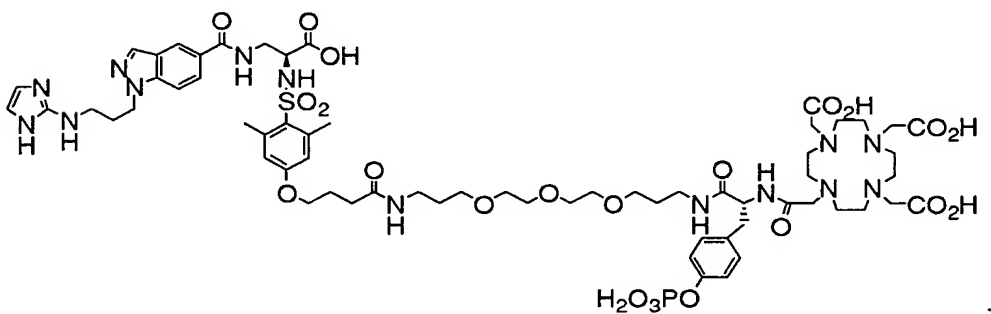
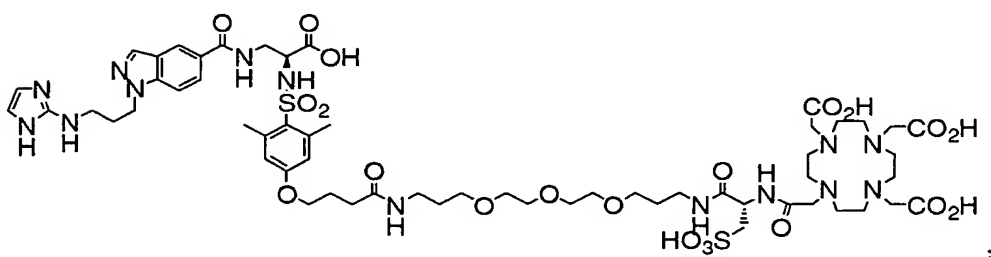
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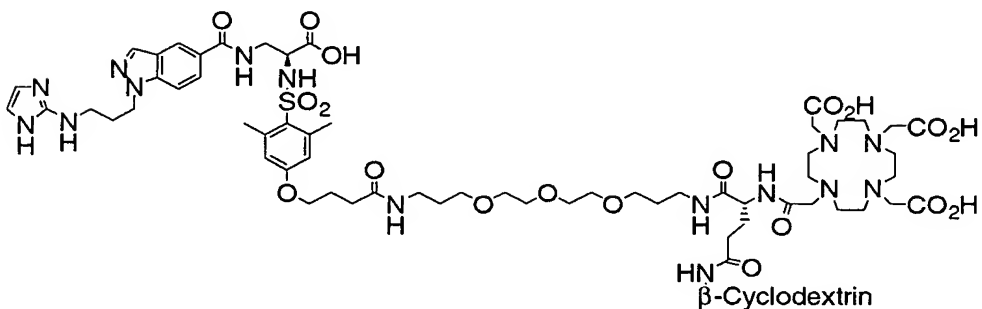
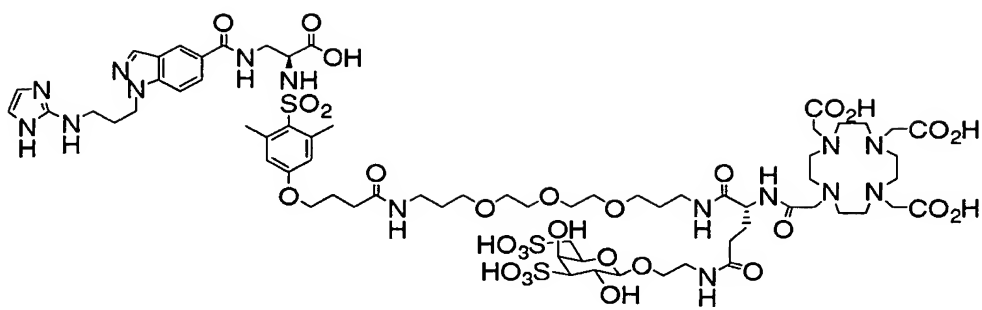
2-(1,4,7,10-tetraaza-4,7,10-tris(carboxymethyl)-1-cyclododecyl)acetyl-Glu{2-(6-Aminohexanoylamino)-3-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonyl-amino)propanoic acid}{2-(6-Aminohexanoylamino)-3-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonyl-amino)propanoic acid};

30

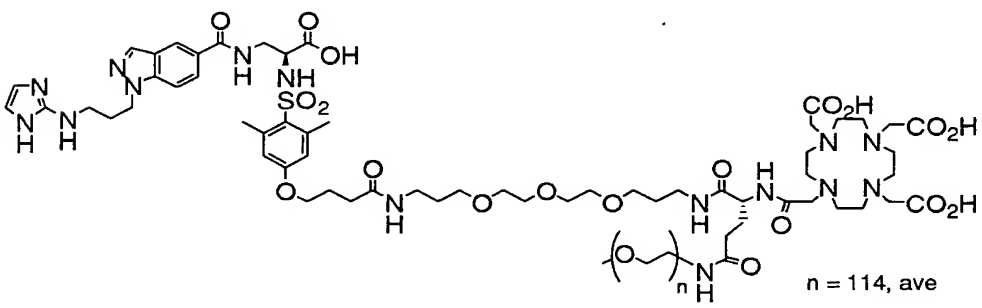


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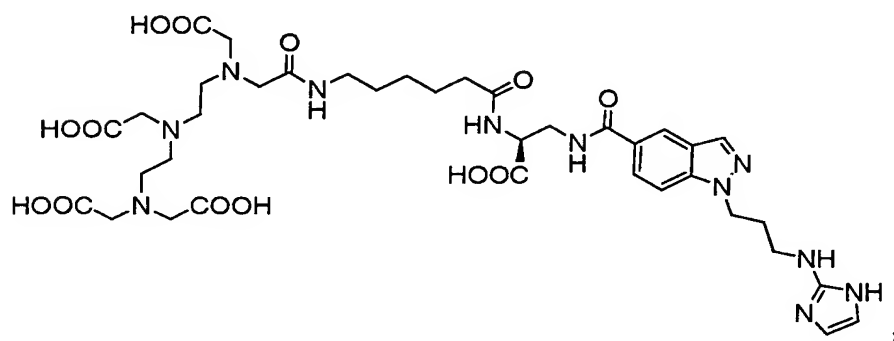
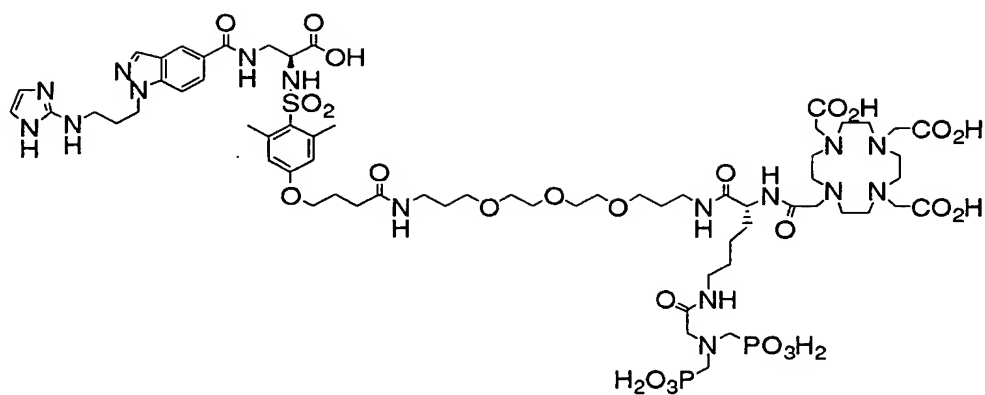


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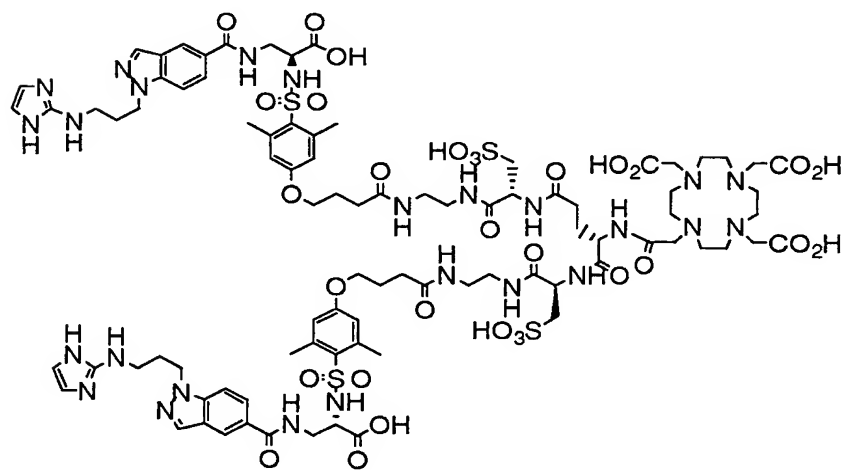


2-(((4-(3-(N-(3-(2-(2-(3-(2-(1,4,7,10-tetraaza-4,7,10-tris(carboxymethyl)cyclododecylacetyl amino)-6-amino hexanoyl amino) propoxy) ethoxy) ethoxy) propyl)-carbamoyl) propoxy)-2,6-dimethylphenyl)-sulfonyl) amino)-3-((1-(3-(imidazol-2-yl amino) propyl)(1H-indazol-5-yl)) carbonyl amino)-propionic acid salt;

15



- 5 2-((4-(3-(N-[2-((2R)-3-Sulfo-2-{2-[1,4,7,10-tetraaza-
4,7,10-tris(carboxymethyl)cyclododecyl]acetyl amino)-
propyl)ethyl]carbonyl}propoxy)-2,6-dimethylphenyl]-
sulfonyl)amino) (2S)-3-({1-[3-(imidazol-2-
ylamino)propyl] (1H-indazol-5-
10 yl)}carbonylamino)propanoic Acid;



- 15 2-(((4-[4-(((2-((2R)-3-Sulfo-2-{2-[1,4,7,10-tetraaza-
4,7,10-tris(carboxymethyl)cyclododecyl]-

acetyl amino}propyl)ethyl] amino}sulfonyl)phenyl]phenyl
 1)sulfonyl)amino] (2S)-3-({1-[3-(imidazol-2-
 ylamino)propyl] (1H-indazol-5-
 yl)}carbonylamino)propanoic Acid;

5

(4S)-4-(N-{1-[N-(2-{4-[4-({[(1S)-1-carboxy-2-({1-[3-(2-
 pyridylamino)propyl] (1H-indazol-5-
 yl)}carbonylamino)ethyl] amino}sulfonyl)-3,5-
 dimethylphenoxy]butanoylamino}ethyl) carbamoyl]-3-
 10 carboxypropyl}carbamoyl)-4-{2-[1,4,7,10-tetraaza-
 4,7,10-
 tris(carboxymethyl)cyclododecyl]acetyl amino}butanoic
 acid;

15 (4S)-4-(N-{1-[N-(2-{4-[4-({[(1S)-1-carboxy-2-({1-[3-
 (imidazol-2-ylamino)propyl] (1H-indazol-5-
 yl)}carbonylamino)ethyl] amino}sulfonyl)-3,5-
 dimethylphenoxy]butanoylamino}ethyl) carbamoyl]-3-
 carboxypropyl}carbamoyl)-4-{2-[1,4,7,10-tetraaza-
 20 4,7,10-
 tris(carboxymethyl)cyclododecyl]acetyl amino}butanoic
 acid;

(4S)-4-{N-[(1S)-1-(N-{1,3-bis[N-(2-{4-[4-({[(1S)-1-
 25 carboxy-2-({1-[3-(imidazol-2-ylamino)propyl] (1H-
 indazol-5-yl)}carbonylamino)ethyl] amino}sulfonyl)-
 3,5-
 dimethylphenoxy]butanoylamino}ethyl) carbamoyl]propyl
 }carbamoyl)-3-carboxypropyl]carbamoyl)-4-(6-{2-
 30 [1,4,7,10-tetraaza-4,7,10-
 tris(carboxymethyl)cyclododecyl]acetyl amino}
 hexanoylamino)butanoic acid;

(4S)-4-(N-{1-[N-(2-{4-[4-({[(1S)-1-carboxy-2-({1-[3-
 35 (3,4,5,6-tetrahydropyrimidin-2-ylamino)propyl] (1H-
 indazol-5-yl)}carbonylamino)ethyl] amino}sulfonyl)-
 3,5-dimethylphenoxy]butanoylamino}ethyl) carbamoyl]-
 3-carboxy propyl}carbamoyl)-4-{2-[1,4,7,10-tetraaza-
 4,7,10-tris

(carboxymethyl)cyclododecyl]acetyl amino}butanoic acid;

5 (4S)-4-(N-{1-[N-(2-{4-[4-({[(1S)-1-carboxy-2-({1-methyl-3-[3-(2-3,4,5,6-tetrahydropyridylamino)propyl] (1H-indazol-6-yl)}carbonylamino)ethyl]amino)sulfonyl)-3,5-dimethylphenoxy]butanoylamino)ethyl}carbamoyl)-3-carboxypropyl}carbamoyl)-4-{2-[1,4,7,10-tetraaza-4,7,10-

10 tris(carboxymethyl)cyclododecyl]acetyl amino}butanoic acid;

15 (4S)-4-(N-{(1S)-1-[N-(2-{4-[4-({[(1S)-1-carboxy-2-({1-[2-(2-3,4,5,6-tetrahydropyridylamino)ethyl] (1H-indazol-5-yl)}carbonylamino)ethyl]amino)sulfonyl)-3,5-dimethylphenoxy]butanoylamino)ethyl}carbamoyl)-3-carboxy propyl}carbamoyl)-4-{2-[1,4,7,10-tetraaza-4,7,10-tris

20 (carboxymethyl)cyclododecyl]acetyl amino}butanoic acid;

(2S)-2-{{(2,6-dimethyl-4-{3-[N-(2-{2-[1,4,7,10-tetraaza-4,7,10-tris(carboxymethyl)cyclododecyl]acetyl amino}ethyl}carbamoyl]propoxy}phenyl)sulfonyl]amino}

25 -3-({2-[2-(2-3,4,5,6-tetrahydropyridylamino)ethyl] (2-hydro-1H-indazol-5-yl)}carbonylamino)propanoic acid;

30 (4S)-4-{N-[(1S)-1-(N-{2-[(4-[4-({[(1S)-1-carboxy-2-({1-[2-(2-3,4,5,6-tetrahydropyridylamino)ethyl] (1H-indazol-5-yl)}carbonylamino)ethyl]amino)sulfonyl]phenyl}phenyl)sulfonyl]amino]ethyl}carbamoyl)-3-carboxypropyl] carbamoyl}-4-{2-[1,4,7,10-tetraaza-4,7,10-tris(carboxy-

35 methyl)cyclododecyl]acetyl amino}butanoic acid;

(4S)-4-{N-[(1S)-1-(N-{2-[(4-[4-({[(1S)-1-carboxy-2-({1-[3-(3,4,5,6-tetrahydropyrimidin-2-ylamino)

- 5 propyl] (1H-indazol-5-yl) } carbonylamino) ethyl] amino) sulfonyl) phenyl] phenyl} sulfonyl) amino] ethyl} carbamoyl) -3-carboxy propyl] carbamoyl} -4-{2-[1,4,7,10-tetraaza-4,7,10-tris (carboxymethyl) cyclododecyl] acetylamino} butanoic acid;
- 10 (2S)-3-({3-[(imidazol-2-ylamino) methyl]-1-methyl(1H-indazol-6-yl) } carbonylamino) -2-({[4-(4-{[(2-{2-[1,4,7,10-tetraaza-4,7,10-tris(carboxymethyl) cyclododecyl] acetylamino} ethyl) amino] sulfonyl} phenyl) phenyl] sulfonyl} amino) propanoic acid;
- 15 3-[(7-{3-[(6-{[(1E)-1-aza-2-(2-sulfophenyl) vinyl] amino} (3-pyridyl)) carbonylamino] propoxy} -1-{3-(imidazol-2-ylamino) propyl] (1H-indazol-5-yl)) - carbonylamino] (2S)-2-{[(2,4,6-trimethylphenyl) sulfonyl] -amino} propanoic acid;
- 20 and
- 25 3-{[1-[3-(imidazol-2-ylamino) propyl] -7-(3-{2-[1,4,7,10-tetraaza-4,7,10-tris(carboxymethyl) cyclododecyl] - acetylamino} propoxy) (1H-indazol-5-yl)] carbonylamino} -2-{[(2,4,6-trimethylphenyl) sulfonyl] amino} propanoic acid;
- 30 or a pharmaceutically acceptable salt form thereof.
7. A kit comprising a compound of Claim 2, or a pharmaceutically acceptable salt form thereof and a pharmaceutically acceptable carrier.
- 35 8. A kit according to Claim 7, wherein the kit further comprises one or more ancillary ligands and a reducing agent.

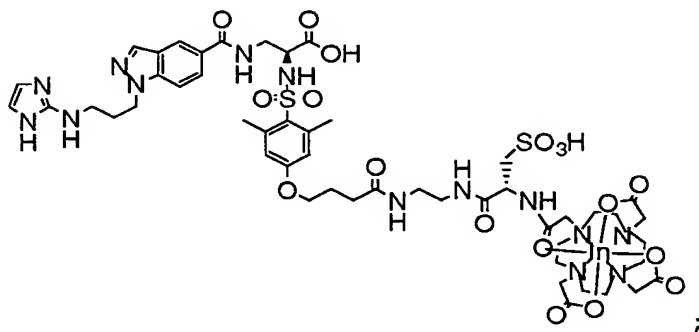
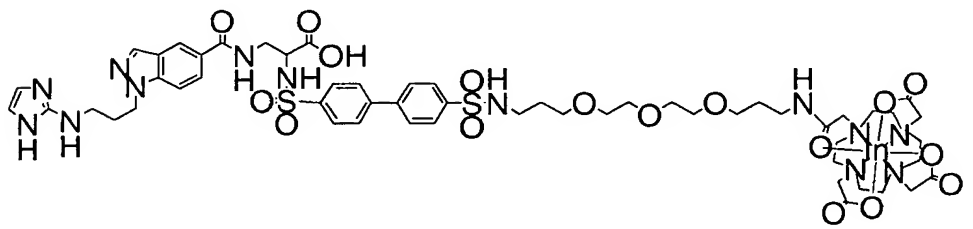
9. A kit according to Claim 8, wherein the ancillary ligands are tricine and TPPTS.
10. A kit according to Claim 8, wherein the reducing agent is tin(II).
11. A diagnostic or therapeutic metallopharmaceutical composition, comprising: a metal, a chelator capable of chelating the metal and a targeting moiety, wherein the targeting moiety is bound to the chelator, is an indazole nonpeptide and binds to a receptor that is upregulated during angiogenesis and the compound has 0-1 linking groups between the targeting moiety and chelator.
12. A composition according to Claim 11, wherein the metallopharmaceutical is a diagnostic radiopharmaceutical, the metal is a radioisotope selected from the group: ^{99m}Tc , ^{95}Tc , ^{111}In , ^{62}Cu , ^{64}Cu , ^{67}Ga , and ^{68}Ga , and the linking group is present between the targeting moiety and chelator.
13. A composition according to Claim 12, wherein the targeting moiety is an indazole and the receptor is $\alpha_v\beta_3$ or $\alpha_v\beta_5$.
14. A composition according to Claim 13, wherein the radioisotope is ^{99m}Tc or ^{95}Tc , the radiopharmaceutical further comprises a first ancillary ligand and a second ancillary ligand capable of stabilizing the radiopharmaceutical.
15. A composition according to Claim 14, wherein the radioisotope is ^{99m}Tc .
16. A composition according to Claim 15, wherein the radiopharmaceutical is selected from the group:

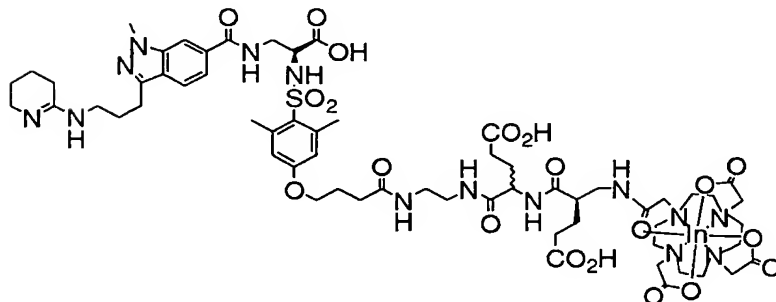
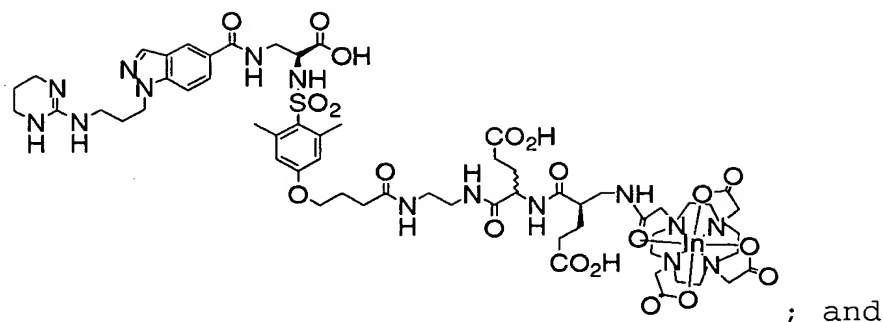
- 5 ^{99m}Tc (((4-(4-((3-(2-(2-(3-((6-(diazenido)(3-pyridyl))carbonylamino)propoxy)-ethoxy)ethoxy)propyl)amino)sulfonyl)-phenyl)phenyl)sulfonyl)amino)-3-((1-(3-(imidazole-2-ylamino)propyl)(1H-indazol-5-yl))carbonylamino)propanoic acid (tricine)(TPPTS);
- 10 ^{99m}Tc (2-(2-((5-(N-(1,3-bis(3-(2-(2-(3-(((4-(4-((1-carboxy-2-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonylamino)ethyl)amino)sulfonyl)-phenyl)phenyl)sulfonyl)amino)propoxy)-ethoxy)ethoxy)propyl)carbamoyl)propyl)carbamoyl)(2-pyridyl))2-diazenido) (tricine)(TPPTS);
- 15 ^{99m}Tc (2-((6-(diazenido)(3-pyridyl))carbonylamino)-4-(N-(3-(2-(2-(3-((4-(4-((1-carboxy-2-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonylamino)-ethyl)amino)sulfonyl)phenyl)phenyl)sulfonyl)-amino)propoxy)-ethoxy)ethoxy)propyl)carbamoyl)butanoic acid (tricine)(TPPTS);
- 20 ^{99m}Tc (2-(6-((6-(diazenido)(3-pyridyl))carbonylamino)hexanoylamino)-3-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonylamino)-propanoic acid (tricine)(TPPTS);
- 25 ^{99m}Tc (2-((6-(diazenido)(3-pyridyl))carbonylamino)-3-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonylamino)propanoic acid (tricine)(TPPTS);
- 30 ^{99m}Tc [2-[[[5-[carbonyl]-2-pyridinyl]diazenido]-Glu(2-(6-aminohexanoylamino)-3-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonylamino)propanoic acid)(2-(6-aminohexanoylamino)-3-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonyl-amino)propanoic acid)) (tricine)(TPPTS);
- 35 ^{99m}Tc [2-[[[5-[carbonyl]-2-pyridinyl]diazenido]-Glu(2-(6-aminohexanoylamino)-3-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonylamino)propanoic acid)(2-(6-aminohexanoylamino)-3-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonyl-amino)propanoic acid)) (tricine)(TPPTS);

^{99m}Tc ([2-[[[5-[carbonyl]-2-pyridinyl]diazenido]-Glu-bis-
 [Glu(2-(6-aminohexanoylamino)-3-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonyl-
 amino)propanoic acid)(2-(6-aminohexanoylamino)-3-
 5 ((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonyl-amino)propanoic acid)]]
 (tricine)(TPPTS);

17. A composition according to Claim 13, wherein the
 10 radioisotope is ¹¹¹In.
18. A composition according to Claim 17, wherein, the
 radiopharmaceutical is selected from the group:

15





5

19. A composition according to Claim 11, wherein the metallopharmaceutical is a therapeutic radiopharmaceutical, the metal is a radioisotope selected from the group: ^{186}Re , ^{188}Re , ^{153}Sm , ^{166}Ho , ^{177}Lu , ^{149}Pm , ^{90}Y , ^{212}Bi , ^{103}Pd , ^{109}Pd , ^{159}Gd , ^{140}La , ^{198}Au , ^{199}Au , ^{169}Yb , ^{175}Yb , ^{165}Dy , ^{166}Dy , ^{67}Cu , ^{105}Rh , ^{111}Ag , and ^{192}Ir , the targeting moiety is an indazole nonpeptide and the linking group is present between the targeting moiety and chelator.

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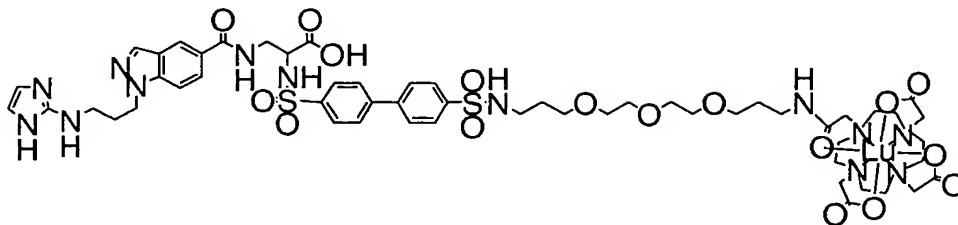
20. A composition according to Claim 19, wherein the targeting moiety is an indazole and the receptor is $\alpha_v\beta_3$ or $\alpha_v\beta_5$.

20 21. A composition according to Claim 20, wherein the radioisotope is ^{153}Sm .

22. A composition according to Claim 20, wherein the radioisotope is ^{177}Lu .

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23. A composition according to Claim 22, wherein the radiopharmaceutical is

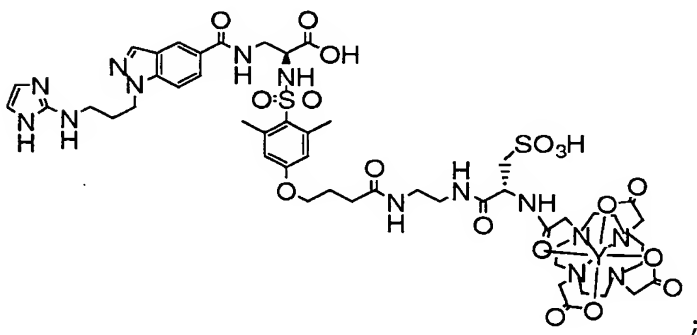
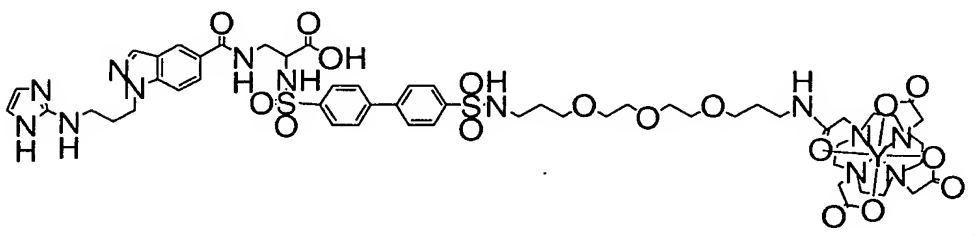


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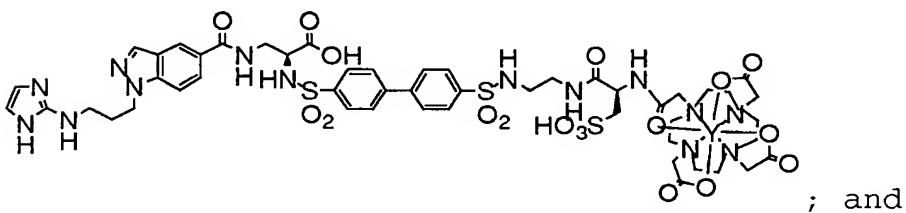
24. A composition according to Claim 20, wherein the radioisotope is ^{90}Y .

25. A composition according to Claim 24, wherein, the radiopharmaceutical is selected from the group:

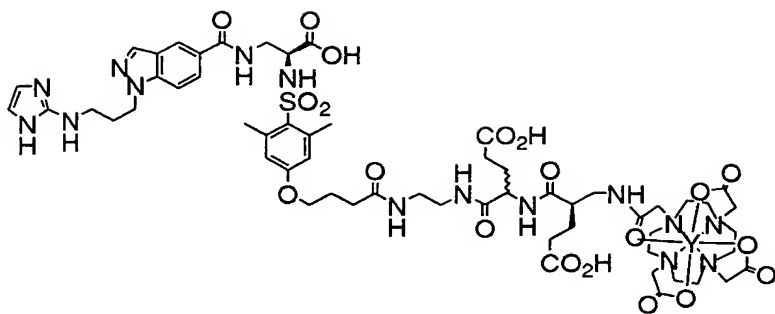
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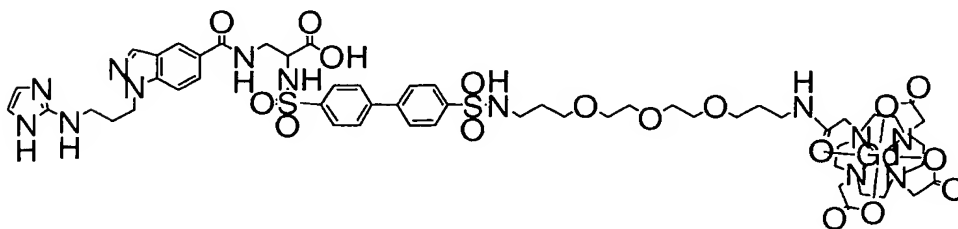
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; and



26. A composition according to Claim 11, wherein the
 5 metallopharmaceutical is a MRI contrast agent, the metal is a paramagnetic metal ion selected from the group: Gd(III), Dy(III), Fe(III), and Mn(II), the targeting moiety is an indazole nonpeptide and the linking group is present between the targeting
 10 moiety and chelator.
27. A composition according to Claim 26, wherein the targeting moiety is an indazole and the receptor is $\alpha_v\beta_3$ or $\alpha_v\beta_5$.
- 15 28. A composition according to Claim 27, wherein the metal ion is Gd(III).
29. A composition according to Claim 28, wherein the
 20 contrast agent is



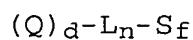
30. A composition according to Claim 11, wherein the
 25 metallopharmaceutical is a X-ray contrast agent, the metal is selected from the group: Re, Sm, Ho, Lu, Pm, Y, Bi, Pd, Gd, La, Au, Au, Yb, Dy, Cu, Rh, Ag,

and Ir, the targeting moiety comprises an indazole, the receptor is $\alpha_v\beta_3$ or $\alpha_v\beta_5$, and the linking group is present between the targeting moiety and chelator.

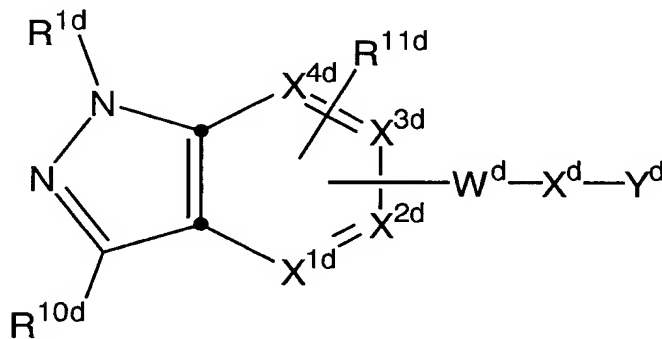
- 5 31. A method of treating rheumatoid arthritis in a patient comprising: administering a therapeutic radiopharmaceutical of Claim 19 capable of localizing in new angiogenic vasculature to a patient by injection or infusion.
- 10 32. A method of treating cancer in a patient comprising: administering to a patient in need thereof a therapeutic radiopharmaceutical of Claim 19 by injection or infusion.
- 15 33. A method of treating restenosis in a patient comprising: administering to a patient, either systemically or locally, a therapeutic radiopharmaceutical of Claim 19 capable of
- 20 localizing in the restenotic area and delivering an effective dose of radiation.
- 25 34. A method of imaging therapeutic angiogenesis in a patient comprising: (1) administering a diagnostic radiopharmaceutical, a MRI contrast agent, or a X-ray contrast agent of Claim 11 to a patient by injection or infusion; (2) imaging the area of the patient wherein the desired formation of new blood vessels is located.
- 30 35. A method of imaging atherosclerosis in a patient comprising: (1) administering a diagnostic radiopharmaceutical, a MRI contrast agent, or a X-ray contrast agent of Claim 11 to a patient by
- 35 injection or infusion; (2) imaging the area of the patient wherein the atherosclerosis is located.

36. A method of imaging restenosis in a patient comprising: (1) administering a diagnostic radiopharmaceutical, a MRI contrast agent, or a X-ray contrast agent of Claim 11 to a patient by injection or infusion; (2) imaging the area of the patient wherein the restenosis is located.
37. A method of imaging cardiac ischemia in a patient comprising: (1) administering a diagnostic radiopharmaceutical, a MRI contrast agent, or a X-ray contrast agent of Claim 11 to a patient by injection or infusion; (2) imaging the area of the myocardium wherein the ischemic region is located.
38. A method of imaging myocardial reperfusion injury in a patient comprising: (1) administering a diagnostic radiopharmaceutical, a MRI contrast agent, or a X-ray contrast agent of Claim 11 to a patient by injection or infusion; (2) imaging the area of myocardium wherein the reperfusion injury is located.
39. A method of imaging cancer in a patient comprising: (1) administering a diagnostic radiopharmaceutical of Claim 12 to a patient by injection or infusion; (2) imaging the patient using planar or SPECT gamma scintigraphy, or positron emission tomography.
40. A method of imaging cancer in a patient comprising: (1) administering a MRI contrast agent of Claim 27; and (2) imaging the patient using magnetic resonance imaging.
41. A method of imaging cancer in a patient comprising: (1) administering a X-ray contrast agent of Claim 30; and (2) imaging the patient using X-ray computed tomography.

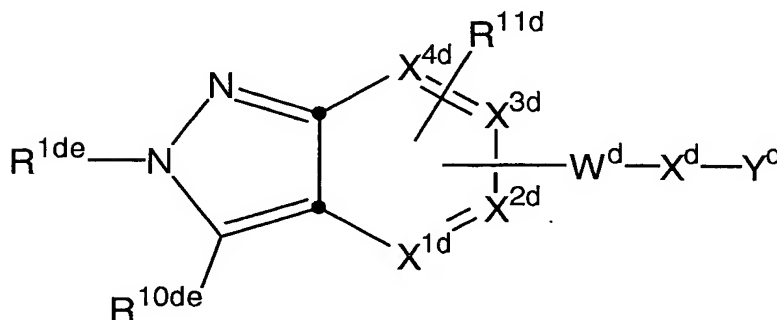
42. A compound, comprising: a targeting moiety and a surfactant, wherein the targeting moiety is bound to the surfactant, is an indazole nonpeptide, and binds to a receptor that is upregulated during angiogenesis and the compound has 0-1 linking groups between the targeting moiety and surfactant.
43. A compound according to Claim 42, wherein the linking group is present between the targeting moiety and surfactant.
44. A compound according to Claim 43, wherein the receptor is the integrin $\alpha_v\beta_3$ or $\alpha_v\beta_5$ and the compound is of the formula:



wherein, Q is a independently a compound of Formulae (Ia) or (Ib):



(Ia)



(Ib)

including stereoisomeric forms thereof, or mixtures of
stereoisomeric forms thereof, or pharmaceutically
5 acceptable salt or prodrug forms thereof wherein:

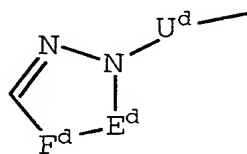
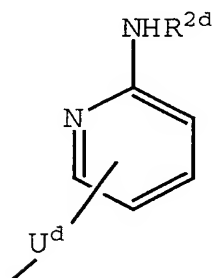
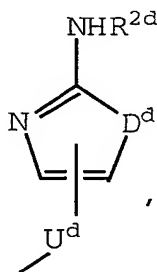
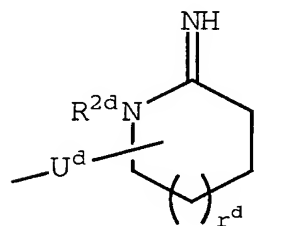
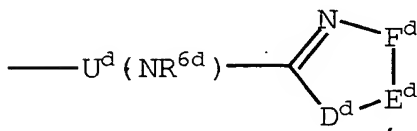
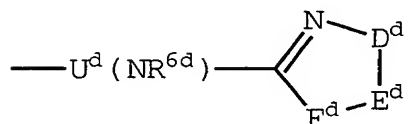
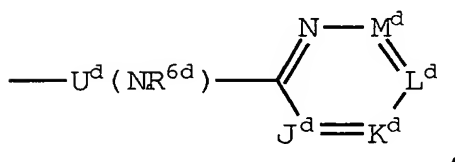
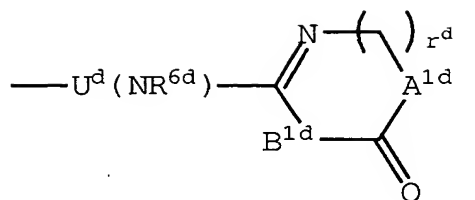
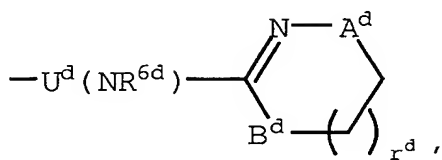
X^{1d} is N, CH, C- W^d - X^d - Y^d , or C- L_n ;
 X^{2d} is N, CH, or C- W^d - X^d - Y^d ;
 X^{3d} is N, CR^{11d} , or C- W^d - X^d - Y^d ;
10 X^{4d} is N or CR^{11d} ;

provided that when R^{1d} is R^{1de} then one of X^{1d} and X^{2d} is
C- W^d - X^d - Y^d , and when R^{10d} is R^{1de} then X^{3d} is C- W^d -
 X^d - Y^d ;

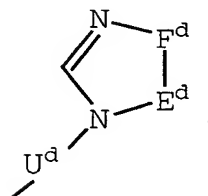
15

R^{1d} is selected from: R^{1de} , C_1 - C_6 alkyl substituted with
0-1 R^{15d} or 0-1 R^{21d} , C_3 - C_6 alkenyl substituted with
0-1 R^{15d} or 0-1 R^{21d} , C_3 - C_7 cycloalkyl substituted
with 0-1 R^{15d} or 0-1 R^{21d} , C_4 - C_{11} cycloalkylalkyl
20 substituted with 0-1 R^{15d} or 0-1 R^{21d} , aryl
substituted with 0-1 R^{15d} or 0-2 R^{11d} or 0-1 R^{21d} , and
aryl(C_1 - C_6 alkyl)- substituted with 0-1 R^{15d} or 0-2
 R^{11d} or 0-1 R^{21d} ;

R^{1de} is selected from:



or



5

A^d and B^d are independently -CH₂-, -O-, -N(R^{2d})-, or -C(=O)-;

A^{1d} and B^{1d} are independently -CH₂- or -N(R^{3d})-;

D^d is -N(R^{2d})-, -O-, -S-, -C(=O)- or -SO₂-;

5 E^d-F^d is -C(R^{4d})=C(R^{5d})-, -N=C(R^{4d})-, -C(R^{4d})=N-, or -
C(R^{4d})₂C(R^{5d})₂-;

J^d, K^d, L^d and M^d are independently selected from:

10 -C(R^{4d})-, -C(R^{5d})- and -N-, provided that at least
one of J^d, K^d, L^d and M^d is not -N-;

R^{2d} is selected from: H, C₁-C₆ alkyl, (C₁-C₆
alkyl)carbonyl, (C₁-C₆ alkoxy)carbonyl; (C₁-C₆
alkyl)aminocarbonyl, C₃-C₆ alkenyl, C₃-C₇ cycloalkyl,
15 C₄-C₁₁ cycloalkylalkyl, aryl, heteroaryl(C₁-C₆
alkyl)carbonyl, heteroarylcabonyl,
aryl(C₁-C₆ alkyl)-, (C₁-C₆ alkyl)carbonyl-,
arylcabonyl, C₁-C₆ alkylsulfonyl, arylsulfonyl,
aryl(C₁-C₆ alkyl)sulfonyl, heteroarylsulfonyl,
20 heteroaryl(C₁-C₆ alkyl)sulfonyl, aryloxycarbonyl, and
aryl(C₁-C₆ alkoxy)carbonyl, wherein said aryl groups
are substituted with 0-2 substituents selected from
the group consisting of C₁-C₄ alkyl, C₁-C₄ alkoxy,
halo, CF₃, and nitro;

25

R^{3d} is selected from: H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl,
C₄-C₁₁ cycloalkylalkyl, aryl, aryl(C₁-C₆ alkyl)-, and
heteroaryl(C₁-C₆ alkyl)-;

30 R^{4d} and R^{5d} are independently selected from: H, C₁-C₄
alkoxy, NR^{2d}R^{3d}, halogen, NO₂, CN, CF₃, C₁-C₆ alkyl,
C₃-C₆ alkenyl, C₃-C₇ cycloalkyl, C₄-C₁₁
cycloalkylalkyl, aryl, aryl(C₁-C₆ alkyl)-, (C₁-C₆
alkyl)carbonyl, (C₁-C₆ alkoxy)carbonyl, arylcarbonyl,
35 or

- alternatively, when substituents on adjacent atoms, R^{4d} and R^{5d} can be taken together with the carbon atoms to which they are attached to form a 5-7 membered carbocyclic or 5-7 membered heterocyclic aromatic or non-aromatic ring system, said carbocyclic or heterocyclic ring being optionally substituted with 0-2 groups selected from: C_1 - C_4 alkyl, C_1 - C_4 alkoxy, halo, cyano, amino, CF_3 , and NO_2 ;
- 5 U^d is selected from:
- $(CH_2)_n^d$ -,
 - $(CH_2)_n^d(CR^{7d}=CR^{8d})(CH_2)_m^d$ -,
 - $(CH_2)_n^d(C\equiv C)(CH_2)_m^d$ -,
 - $(CH_2)_t^dQ(CH_2)_m^d$ -,
 - 15 - $(CH_2)_n^dO(CH_2)_m^d$ -,
 - $(CH_2)_n^dN(R^{6d})(CH_2)_m^d$ -,
 - $(CH_2)_n^dC(=O)(CH_2)_m^d$ -,
 - $(CH_2)_n^d(C=O)N(R^{6d})(CH_2)_m^d$ -,
 - $(CH_2)_n^dN(R^{6d})(C=O)(CH_2)_m^d$ -, and
 - 20 - $(CH_2)_n^dS(O)_p^d(CH_2)_m^d$;

wherein one or more of the methylene groups in U^d is optionally substituted with R^{7d} ;

- 25 Q^d is selected from 1,2-cycloalkylene, 1,2-phenylene, 1,3-phenylene, 1,4-phenylene, 2,3-pyridinylene, 3,4-pyridinylene, 2,4-pyridinylene, and 3,4-pyridazinylene;
- 30 R^{6d} is selected from: H, C_1 - C_4 alkyl, or benzyl;
- R^{7d} and R^{8d} are independently selected from: H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, C_4 - C_{11} cycloalkylalkyl, aryl, aryl(C_1 - C_6 alkyl)-, and
- 35 heteroaryl(C_0 - C_6 alkyl)-;

R^{10d} is selected from: H, R^{1de} , C_1 - C_4 alkoxy substituted
 with 0-1 R^{21d} , $N(R^{6d})_2$, halogen, NO_2 , CN , CF_3 ,
 CO_2R^{17d} , $C(=O)R^{17d}$, $CONR^{17d}R^{20d}$, $-SO_2R^{17d}$, -
 $SO_2NR^{17d}R^{20d}$, C_1 - C_6 alkyl substituted with 0-1 R^{15d} or
 5 0-1 R^{21d} , C_3 - C_6 alkenyl substituted with 0-1 R^{15d} or
 0-1 R^{21d} , C_3 - C_7 cycloalkyl substituted with 0-1 R^{15d}
 or 0-1 R^{21d} , C_4 - C_{11} cycloalkylalkyl substituted with
 0-1 R^{15d} or 0-1 R^{21d} , aryl substituted with 0-1 R^{15d}
 or 0-2 R^{11d} or 0-1 R^{21d} , and aryl(C_1 - C_6 alkyl)-
 10 substituted with 0-1 R^{15d} or 0-2 R^{11d} or 0-1 R^{21d} ;

R^{10de} is selected from: H, C_1 - C_4 alkoxy substituted with
 0-1 R^{21d} , $N(R^{6d})_2$, halogen, NO_2 , CN , CF_3 , CO_2R^{17d} ,
 $C(=O)R^{17d}$, $CONR^{17d}R^{20d}$, $-SO_2R^{17d}$, $-SO_2NR^{17d}R^{20d}$, C_1 - C_6
 15 alkyl substituted with 0-1 R^{15d} or 0-1 R^{21d} , C_3 - C_6
 alkenyl substituted with 0-1 R^{15d} or 0-1 R^{21d} , C_3 - C_7
 cycloalkyl substituted with 0-1 R^{15d} or 0-1 R^{21d} ,
 C_4 - C_{11} cycloalkylalkyl substituted with 0-1 R^{15d} or
 0-1 R^{21d} , aryl substituted with 0-1 R^{15d} or 0-2 R^{11d}
 20 or 0-1 R^{21d} , and aryl(C_1 - C_6 alkyl)- substituted with
 0-1 R^{15d} or 0-2 R^{11d} or 0-1 R^{21d} ;

R^{11d} is selected from H, halogen, CF_3 , CN , NO_2 , hydroxy,
 $NR^{2d}R^{3d}$, C_1 - C_4 alkyl substituted with 0-1 R^{21d} , C_1 - C_4
 25 alkoxy substituted with 0-1 R^{21d} , aryl substituted
 with 0-1 R^{21d} , aryl(C_1 - C_6 alkyl)- substituted with
 0-1 R^{21d} , (C_1 - C_4 alkoxy)carbonyl substituted with 0-1
 R^{21d} , (C_1 - C_4 alkyl)carbonyl substituted with 0-1 R^{21d} ,
 C_1 - C_4 alkylsulfonyl substituted with 0-1 R^{21d} , and
 30 C_1 - C_4 alkylaminosulfonyl substituted with 0-1 R^{21d} ;

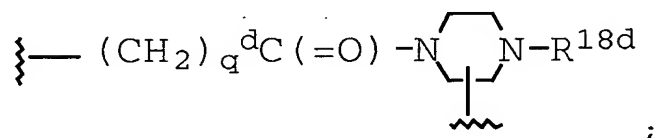
W^d is selected from:

$-(C(R^{12d})_2)_q^d C(=O)N(R^{13d})-$, and
 $-C(=O)-N(R^{13d})-(C(R^{12d})_2)_q^d-$;

X^d is $-C(R^{12d})(R^{14d})-C(R^{12d})(R^{15d})-$; or

alternatively, W^d and X^d can be taken together to be

5



R^{12d} is selected from H, halogen, C_1 - C_6 alkyl, C_2 - C_6 alkenyl, C_2 - C_6 alkynyl, C_3 - C_7 cycloalkyl, C_4 - C_{10} cycloalkylalkyl, $(C_1$ - C_4 alkyl)carbonyl, aryl, and aryl(C_1 - C_6 alkyl)-;

10

R^{13d} is selected from H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkylmethyl, and aryl(C_1 - C_6 alkyl)-;

15

R^{14d} is selected from:

H, C_1 - C_6 alkylthio(C_1 - C_6 alkyl)-, aryl(C_1 - C_{10} alkylthioalkyl)-, aryl(C_1 - C_{10} alkoxyalkyl)-, C_1 - C_{10} alkyl, C_1 - C_{10} alkoxyalkyl, C_1 - C_6 hydroxyalkyl, C_2 - C_{10} alkenyl, C_2 - C_{10} alkynyl, C_3 - C_{10} cycloalkyl, C_3 - C_{10} cycloalkylalkyl, aryl(C_1 - C_6 alkyl)-, heteroaryl(C_1 - C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17d} , $C(=O)R^{17d}$, and $CONR^{17d}R^{20d}$, provided that any of the above alkyl, cycloalkyl, aryl or heteroaryl groups may be unsubstituted or substituted independently with 0-1 R^{16d} or 0-2 R^{11d} ;

20

25

R^{15d} is selected from:

H, R^{16d} , C_1 - C_{10} alkyl, C_1 - C_{10} alkoxyalkyl, C_1 - C_{10} alkylaminoalkyl, C_1 - C_{10} dialkylaminoalkyl, $(C_1$ - C_{10} alkyl)carbonyl, aryl(C_1 - C_6 alkyl)carbonyl, C_1 - C_{10} alkenyl, C_1 - C_{10} alkynyl, C_3 - C_{10} cycloalkyl, C_3 - C_{10} cycloalkylalkyl, aryl(C_1 - C_6 alkyl)-, heteroaryl(C_1 - C_6 alkyl)-, aryl, heteroaryl, CO_2R^{17d} ,

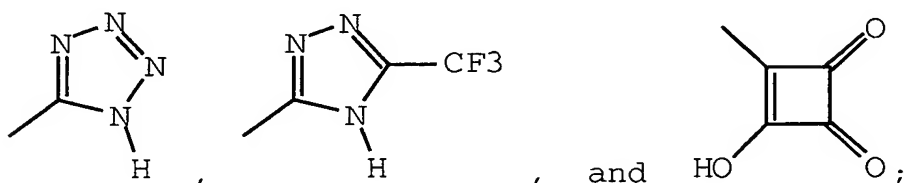
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$C(=O)R^{17d}$, $CONR^{17d}R^{20d}$, SO_2R^{17d} , and $SO_2NR^{17d}R^{20d}$,
provided that any of the above alkyl, cycloalkyl,
aryl or heteroaryl groups may be unsubstituted or
substituted independently with 0-2 R^{11d} ;

5

Y^d is selected from:

- COR^{19d} , - SO_3H , - PO_3H , tetrazolyl, - $CONHNHSO_2CF_3$, -
- $CONHSO_2R^{17d}$, - $CONHSO_2NHR^{17d}$, - $NHCOCF_3$, - $NHCONHSO_2R^{17d}$,
- $NHSO_2R^{17d}$, - OPO_3H_2 , - OSO_3H , - PO_3H_2 , - SO_3H , -
10 SO_2NHCOR^{17d} , - $SO_2NHCO_2R^{17d}$,



15 R^{16d} is selected from:

- $N(R^{20d})-C(=O)-O-R^{17d}$,
- $N(R^{20d})-C(=O)-R^{17d}$,
- $N(R^{20d})-C(=O)-NH-R^{17d}$,
- $N(R^{20d})SO_2-R^{17d}$, and
20 - $N(R^{20d})SO_2-NR^{20d}R^{17d}$,

R^{17d} is selected from:

C_1 - C_{10} alkyl optionally substituted with a bond to
 L_n , C_3 - C_{11} cycloalkyl optionally substituted with a
25 bond to L_n , aryl(C_1 - C_6 alkyl)- optionally substituted
with a bond to L_n , (C_1 - C_6 alkyl)aryl optionally
substituted with a bond to L_n , heteroaryl(C_1 - C_6
alkyl)- optionally substituted with a bond to L_n ,
(C_1 - C_6 alkyl)heteroaryl optionally substituted with a
30 bond to L_n , biaryl(C_1 - C_6 alkyl)- optionally
substituted with a bond to L_n , heteroaryl optionally
substituted with a bond to L_n , aryl optionally
substituted with a bond to L_n , biaryl optionally

substituted with a bond to L_n , and a bond to L_n ,
 wherein said aryl, biaryl or heteroaryl groups are
 also optionally substituted with 0-3 substituents
 selected from the group: C_1 - C_4 alkyl, C_1 - C_4 alkoxy,
 5 aryl, heteroaryl, halo, cyano, amino, CF_3 , and NO_2 ;

R^{18d} is selected from:

-H,
 -C(=O)-O- R^{17d} ,
 10 -C(=O)- R^{17d} ,
 -C(=O)-NH- R^{17d} ,
 -SO₂- R^{17d} , and
 -SO₂-NR^{20d} R^{17d} ;

15 R^{19d} is selected from: hydroxy, C_1 - C_{10} alkyloxy,
 C_3 - C_{11} cycloalkyloxy, aryloxy, aryl(C_1 - C_6 alkoxy)-,
 C_3 - C_{10} alkylcarbonyloxyalkyloxy, C_3 - C_{10}
 alkoxy carbonyloxyalkyloxy,
 C_2 - C_{10} alkoxy carbonylalkyloxy,
 20 C_5 - C_{10} cycloalkylcarbonyloxyalkyloxy,
 C_5 - C_{10} cycloalkoxy carbonyloxyalkyloxy,
 C_5 - C_{10} cycloalkoxy carbonylalkyloxy,
 C_7 - C_{11} aryloxy carbonylalkyloxy,
 C_8 - C_{12} aryloxy carbonyloxyalkyloxy,
 25 C_8 - C_{12} arylcarbonyloxyalkyloxy,
 C_5 - C_{10} alkoxy alkylcarbonyloxyalkyloxy,
 C_5 - C_{10} (5-alkyl-1,3-dioxo-cyclopenten-2-one-
 yl)methyloxy, C_{10} - C_{14} (5-aryl-1,3-dioxo-cyclopenten-
 2-one-yl)methyloxy, and
 30 (R^{11d}) (R^{12d})N-(C_1 - C_{10} alkoxy)-;

R^{20d} is selected from: H, C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl,
 C_4 - C_{11} cycloalkylalkyl, aryl, aryl(C_1 - C_6 alkyl)-, and
 heteroaryl(C_1 - C_6 alkyl)-;

35

R^{21d} is selected from: COOH and NR^{6d}₂;

- m^d is 0-4;
 n^d is 0-4;
 t^d is 0-4;
 p^d is 0-2;
5 q^d is 0-2; and
 r^d is 0-2;

with the following provisos:

- (1) t^d , n^d , m^d and q^d are chosen such that the number of
 10 atoms connecting R^{1d} and Y^d is in the range of 10-14;
 and
 (2) n^d and m^d are chosen such that the value of n^d plus
 m^d is greater than one unless U^d is
 $-(CH_2)_t Q^d (CH_2)_m^-$;

15

or Q is a peptide selected from the group:



- 20 R^1 is L-valine, D-valine or L-lysine optionally
 substituted on the ϵ amino group with a bond to L_n ;
 R^2 is L-phenylalanine, D-phenylalanine,
 D-1-naphthylalanine, 2-aminothiazole-4-acetic acid
 or tyrosine, the tyrosine optionally substituted on
 25 the hydroxy group with a bond to L_n ;

R^3 is D-valine;

R^4 is D-tyrosine substituted on the hydroxy group with a bond to L_n ;

provided that one of R^1 and R^2 in each Q is substituted
 5 with a bond to L_n , and further provided that when R^2 is 2-aminothiazole-4-acetic acid, K is N-methylarginine;

provided that at least one Q is a compound of Formula Ia
 10 or Ib;

d is selected from 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;

L_n is a linking group having the formula:

15 $((W)_h - (CR^6R^7)_g)_x - (Z)_k - ((CR^{6a}R^{7a})_{g'} - (W)_{h'})_{x'}$;

W is independently selected at each occurrence from the group: O, S, NH, NHC(=O), C(=O)NH, $NR^8C(=O)$, C(=O)N
 20 R^8 , C(=O), C(=O)O, OC(=O), NHC(=S)NH, NHC(=O)NH, SO₂, SO₂NH, (OCH₂CH₂)₂₀₋₂₀₀, (CH₂CH₂O)₂₀₋₂₀₀, (OCH₂CH₂CH₂)₂₀₋₂₀₀, (CH₂CH₂CH₂O)₂₀₋₂₀₀, and (aa)_t;

aa is independently at each occurrence an amino acid;

25 Z is selected from the group: aryl substituted with 0-3 R^{10} , C₃₋₁₀ cycloalkyl substituted with 0-3 R^{10} , and a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-3 R^{10} ;

30

R^6 , R^{6a} , R^7 , R^{7a} , and R^8 are independently selected at each occurrence from the group: H, =O, COOH, SO₃H, PO₃H, C₁-C₅ alkyl substituted with 0-3 R^{10} , aryl substituted with 0-3 R^{10} , benzyl substituted with 0-3
 35 R^{10} , and C₁-C₅ alkoxy substituted with 0-3 R^{10} , NHC(=O) R^{11} , C(=O)NHR¹¹, NHC(=O)NHR¹¹, NHR¹¹, R^{11} , and a bond to S_f ;

R¹⁰ is independently selected at each occurrence from the group: a bond to S_f, COOR¹¹, C(=O)NHR¹¹, NHC(=O)R¹¹, OH, NHR¹¹, SO₃H, PO₃H, -OPO₃H₂, -OSO₃H, aryl
 5 substituted with 0-3 R¹¹, C₁₋₅ alkyl substituted with 0-1 R¹², C₁₋₅ alkoxy substituted with 0-1 R¹², and a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-3 R¹¹;

10

R¹¹ is independently selected at each occurrence from the group: H, alkyl substituted with 0-1 R¹², aryl substituted with 0-1 R¹², a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms
 15 independently selected from N, S, and O and substituted with 0-1 R¹², C₃₋₁₀ cycloalkyl substituted with 0-1 R¹², and a bond to S_f;

R¹² is a bond to S_f;

20

k is selected from 0, 1, and 2;
 h is selected from 0, 1, and 2;
 h' is selected from 0, 1, and 2;
 g is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;
 25 g' is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;
 t' is selected from 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, and 10;
 x is selected from 0, 1, 2, 3, 4, and 5;
 x' is selected from 0, 1, 2, 3, 4, and 5;

30 S_f is a surfactant which is a lipid or a compound of the

formula: $A^9-E^1-A^{10}$;

A⁹ is selected from the group: OH and OR²⁷;

35 A¹⁰ is OR²⁷;

R^{27} is $C(=O)C_{1-20}$ alkyl;

E^1 is C_{1-10} alkylene substituted with 1-3 R^{28} ;

5 R^{28} is independently selected at each occurrence from the group: R^{30} , $-PO_3H-R^{30}$, $=O$, $-CO_2R^{29}$, $-C(=O)R^{29}$, $-C(=O)N(R^{29})_2$, $-CH_2OR^{29}$, $-OR^{29}$, $-N(R^{29})_2$, C_1-C_5 alkyl, and C_2-C_4 alkenyl;

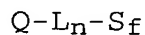
10 R^{29} is independently selected at each occurrence from the group: R^{30} , H , C_1-C_6 alkyl, phenyl, benzyl, and trifluoromethyl;

R^{30} is a bond to L_n ;

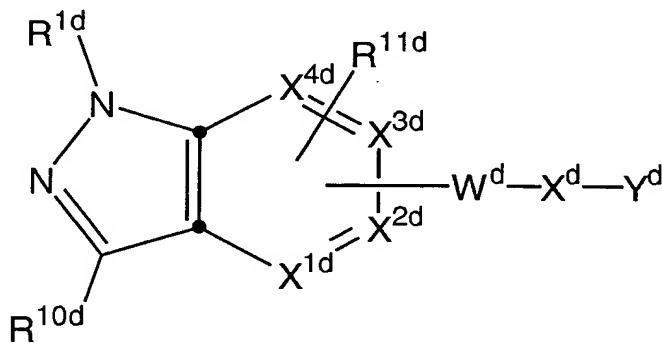
Included 2-21-03 [and] a pharmaceutically acceptable salt thereof.

45. A compound according to Claim 44, wherein the compound is of the formula:

20

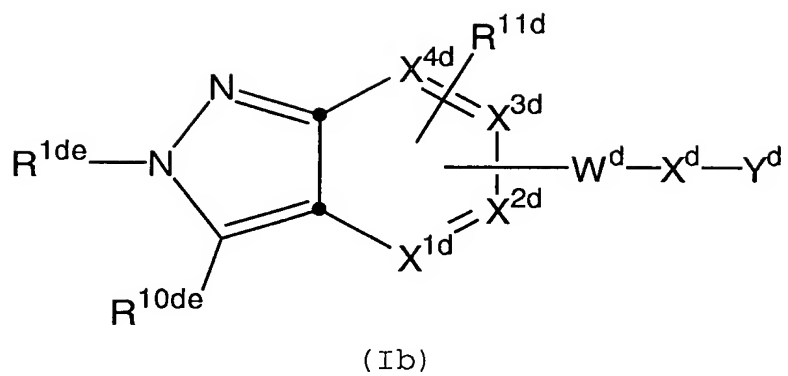


wherein: Q is a compound of Formula (Ia) or (Ib):



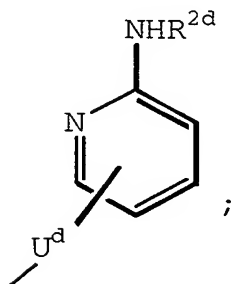
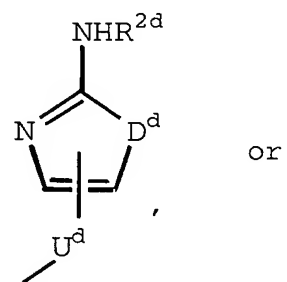
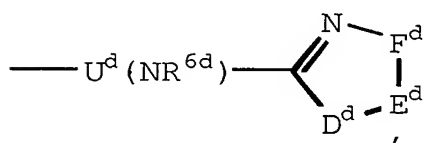
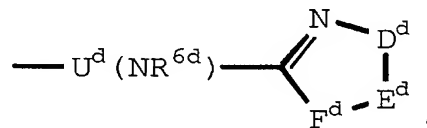
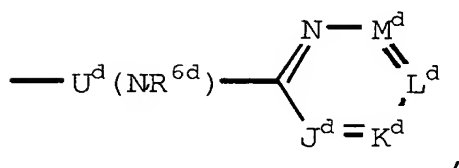
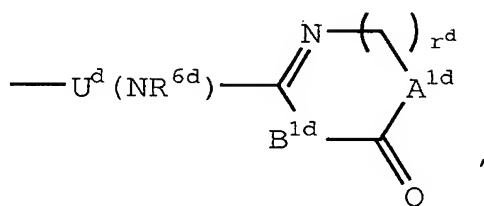
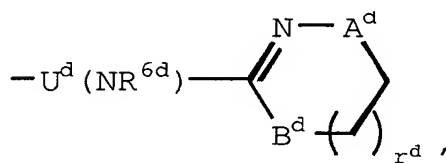
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(Ia)



R^{1de} is selected from:

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A^d and B^d are independently $-CH_2-$, $-O-$, $-N(R^{2d})-$, or $-C(=O)-$;

A^{1d} and B^{1d} are independently $-CH_2-$ or $-N(R^{3d})-$;

5 D^d is $-N(R^{2d})-$, $-O-$, $-S-$, $-C(=O)-$ or $-SO_2-$;

E^d-F^d is $-C(R^{4d})=C(R^{5d})-$, $-N=C(R^{4d})-$, $-C(R^{4d})=N-$, or $-C(R^{4d})_2C(R^{5d})_2-$;

10 J^d , K^d , L^d and M^d are independently selected from:
 $-C(R^{4d})-$, $-C(R^{5d})-$ and $-N-$, provided that at least
one of J^d , K^d , L^d and M^d is not $-N-$;

R^{2d} is selected from: H, C₁-C₆ alkyl, (C₁-C₆
15 alkyl)carbonyl, (C₁-C₆ alkoxy)carbonyl, C₁-C₆
alkylaminocarbonyl, C₃-C₆ alkenyl, C₃-C₇ cycloalkyl,
C₄-C₁₁ cycloalkylalkyl, aryl, heteroaryl(C₁-C₆
alkyl)carbonyl, heteroarylcarbonyl, aryl(C₁-C₆
alkyl)-, (C₁-C₆ alkyl)carbonyl, arylcarbonyl,
20 alkylsulfonyl, arylsulfonyl, aryl(C₁-C₆
alkyl)sulfonyl, heteroarylsulfonyl, heteroaryl(C₁-C₆
alkyl)sulfonyl, aryloxy carbonyl, and aryl(C₁-C₆
alkoxy)carbonyl, wherein said aryl groups are
substituted with 0-2 substituents selected from the
25 group: C₁-C₄ alkyl, C₁-C₄ alkoxy, halo, CF₃, and
nitro;

R^{3d} is selected from: H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl,
C₄-C₁₁ cycloalkylalkyl, aryl, aryl(C₁-C₆ alkyl)-, and
30 heteroaryl(C₁-C₆ alkyl)-;

R^{4d} and R^{5d} are independently selected from: H, C₁-C₄
alkoxy, $NR^{2d}R^{3d}$, halogen, NO₂, CN, CF₃, C₁-C₆ alkyl,
C₃-C₆ alkenyl, C₃-C₇ cycloalkyl, C₄-C₁₁

cycloalkylalkyl, aryl, aryl(C₁-C₆ alkyl)-, C₂-C₇ alkylcarbonyl, and arylcarbonyl or

alternatively, when substituents on adjacent atoms, R^{4d}
 5 and R^{5d} can be taken together with the carbon atoms to which they are attached to form a 5-7 membered carbocyclic or 5-7 membered heterocyclic aromatic or non-aromatic ring system, said carbocyclic or heterocyclic ring being optionally substituted with
 10 0-2 groups selected from: C₁-C₄ alkyl, C₁-C₄ alkoxy, halo, cyano, amino, CF₃, and NO₂;

U^d is selected from:

- (CH₂)_n^d -,
 - (CH₂)_n^d (CR^{7d}=CR^{8d}) (CH₂)_m^d -,
 15 - (CH₂)_t^d Q^d (CH₂)_m^d -,
 - (CH₂)_n^d O (CH₂)_m^d -,
 - (CH₂)_n^d N(R^{6d}) (CH₂)_m^d -,
 - (CH₂)_n^d C(=O) (CH₂)_m^d -, and
 - (CH₂)_n^d S(O)_p^d (CH₂)_m^d -;

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wherein one or more of the methylene groups in U^d is optionally substituted with R^{7d};

Q^d is selected from 1,2-phenylene, 1,3-phenylene, 2,3-
 25 pyridinylenes, 3,4-pyridinylenes, and 2,4-pyridinylenes;

R^{6d} is selected from: H, C₁-C₄ alkyl, and benzyl;

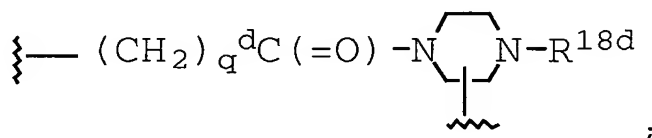
30 R^{7d} and R^{8d} are independently selected from: H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, C₄-C₁₁ cycloalkylalkyl,

aryl, aryl(C₁-C₆ alkyl)-, and heteroaryl(C₀-C₆ alkyl)-;

W^d is $-C(=O)-N(R^{13d})-(C(R^{12d})_2)_q^d-$;

X^d is $-C(R^{12d})(R^{14d})-C(R^{12d})(R^{15d})-$;

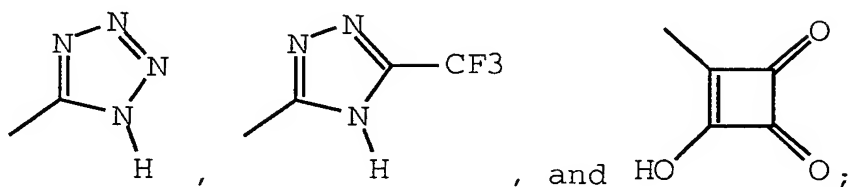
alternatively, W^d and X^d can be taken together to be



R^{12d} is H or C₁-C₆ alkyl;

Y^d is selected from:

$-COR^{19d}$, $-SO_3H$,



Z is selected from the group: aryl substituted with 0-1 R^{10} , C₃-10 cycloalkyl substituted with 0-1 R^{10} , and a 5-10 membered heterocyclic ring system containing 1-4 heteroatoms independently selected from N, S, and O and substituted with 0-1 R^{10} ;

R^6 , R^{6a} , R^7 , R^{7a} , and R^8 are independently selected at each occurrence from the group: H, =O, COOH, SO_3H , C₁-C₅ alkyl substituted with 0-1 R^{10} , aryl substituted with 0-1 R^{10} , benzyl substituted with 0-1 R^{10} , and C₁-C₅ alkoxy substituted with 0-1 R^{10} ,

NHC(=O)R¹¹, C(=O)NHR¹¹, NHC(=O)NHR¹¹, NHR¹¹, R¹¹, and
a bond to S_f;

k is 0 or 1;

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S_f is a surfactant which is a lipid or a compound of the

formula: $A^9-E^1-A^{10}$;

A⁹ is OR²⁷;

10

A¹⁰ is OR²⁷;

R²⁷ is C(=O)C₁₋₁₅ alkyl;

15 E¹ is C₁₋₄ alkylene substituted with 1-3 R²⁸;

R²⁸ is independently selected at each occurrence from the
group: R³⁰, -PO₃H-R³⁰, =O, -CO₂R²⁹, -C(=O)R²⁹,

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-CH₂OR²⁹, -OR²⁹, and C₁-C₅ alkyl;

R²⁹ is independently selected at each occurrence from the
group: R³⁰, H, C₁-C₆ alkyl, phenyl, and benzyl;

25 R³⁰ is a bond to L_n;

Amended
2-21-03

and a pharmaceutically acceptable salt thereof.

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46. A compound according to Claim 45, wherein the
present invention provides a compound selected from
the group:

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DPPE-2-(6-aminohexanoylamino)-3-((1-(3-(imidazol-2-
ylamino)propyl)(1H-indazol-5-yl))carbonyl-
amino)propanoic acid-dodecanoate conjugate;

ω -amino-PEG₃₄₀₀-2-(6-aminohexanoylamino)-3-((1-(3-(imidazol-2-ylamino)propyl)(1H-indazol-5-yl))carbonyl-amino)propanoic acid; and

5

ω -amino-PEG₃₄₀₀-Glu-(2-(6-aminohexanoylamino)-3-((1-(3-(imidazol-2-ylamino)-propyl)(1H-indazol-5-yl))carbonyl-amino)propanoic acid)₂.

- 10 47. An ultrasound contrast agent composition, comprising:
- (a) a compound of Claim 44, comprising: an indazole that binds to the integrin $\alpha_v\beta_3$ or $\alpha_v\beta_5$ a surfactant and a linking group between the indazole and the
- 15 surfactant;
- (b) a parenterally acceptable carrier; and,
- (c) an echogenic gas.
48. An ultrasound contrast agent composition of Claim
- 20 47, further comprising: 1,2-dipalmitoyl-sn-glycero-3-phosphatidic acid, 1,2-dipalmitoyl-sn-glycero-3-phosphatidylcholine, and N-(methoxypolyethylene glycol 5000 carbamoyl)-1,2-dipalmitoyl-sn-glycero-3-phosphatidylethanolamine.
- 25 49. An ultrasound contrast agent composition of Claim 48, wherein the echogenic gas is a C₂₋₅ perfluorocarbon.
- 30 50. A method of imaging cancer in a patient comprising: (1) administering, by injection or infusion, a ultrasound contrast agent composition of Claim 44 to a patient; and (2) imaging the patient using sonography.
- 35 51. A method of imaging therapeutic angiogenesis in a patient comprising: (1) administering, by injection

or infusion, an ultrasound contrast agent composition of Claim 42 to a patient; (2) imaging the area of the patient wherein the desired formation of new blood vessels is located.

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52. A method of imaging atherosclerosis in a patient comprising: (1) administering, by injection or infusion, an ultrasound contrast agent composition of Claim 42 to a patient; (2) imaging the area of the patient wherein the atherosclerosis is located.

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53. A method of imaging restenosis in a patient comprising: (1) administering, by injection or infusion, an ultrasound contrast agent composition of Claim 42 to a patient; (2) imaging the area of the patient wherein the restenosis is located.

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54. A method of imaging cardiac ischemia in a patient comprising: (1) administering, by injection or infusion, an ultrasound contrast agent composition of Claim 42 to a patient; (2) imaging the area of the myocardium wherein the ischemic region is located.

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55. A method of imaging myocardial reperfusion injury in a patient comprising: (1) administering, by injection or infusion, an ultrasound contrast agent composition of Claim 42 to a patient; (2) imaging the area of myocardium wherein the reperfusion injury is located.

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56. A therapeutic radiopharmaceutical composition, comprising:
(a) a therapeutic radiopharmaceutical of Claim 19;
and,
(b) a parenterally acceptable carrier.

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57. A diagnostic pharmaceutical composition, comprising:

- (a) a diagnostic radiopharmaceutical, a MRI contrast agent, or a X-ray contrast agent of Claim 11; and,
- (b) a parenterally acceptable carrier.